=> file caplus
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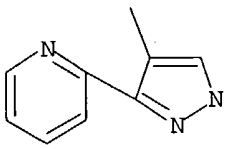
FILE COVERS 1907 - 21 Oct 2004 VOL 141 ISS 17
FILE LAST UPDATED: 20 Oct 2004 (20041020/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 296 SEA FILE=REGISTRY SSS FUL L1
L4 17 SEA FILE=CAPLUS L3

=> d l4 1-17 ibib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:696346 CAPLUS
DOCUMENT NUMBER: 141:225504
TITLE: Preparation of 2-pyrazolylpyridine derivatives as TGFβ receptor inhibitors
INVENTOR(S): Lee, Wen-cherng; Sun, Lihong; Shan, Feng; Chuaqui, Claudio; Cornebise, Mark; Pontz, Timothy W.; Carter, Marybeth; Singh, Juswinder; Boriack-sjodin, Paula Ann; Ling, Leona; Petter, Russell C.
PATENT ASSIGNEE(S): Biogen Idec Ma Inc., USA; et al.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072033	A2	20040826	WO 2004-US4049	20040212

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,

BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,
CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN,
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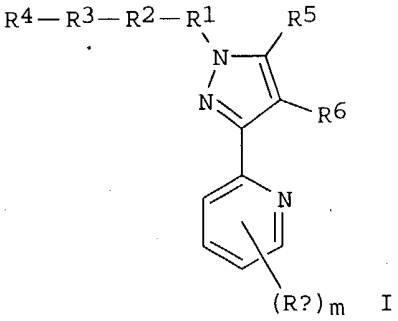
PRIORITY APPLN. INFO.: US 2003-446777P P 20030212

GI



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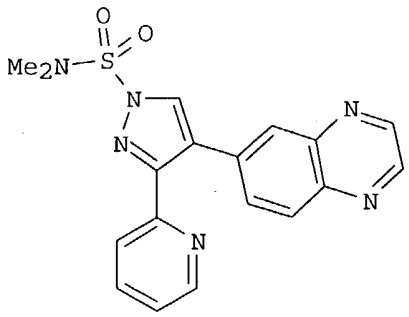


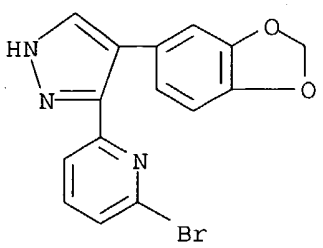
II

AB The title compds. I [wherein Ra = independently alkyl, alkenyl, alkynyl, etc.; R1 = a bond, alkylene, alkenylene, etc.; R2 = (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl, or a bond; R3 = CO, CO2, OCO, etc.; R4 = H, alkyl, alkenyl, etc.; R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = heterocyclyl or heteroaryl; m = 0-3] or N-oxides or pharmaceutically acceptable salts thereof are prepared as transforming growth factor (TGF) β receptor antagonists for the treatment of numerous diseases, including fibrotic disorders. For example, the compound II was prepared in a five-step synthesis in good yield. Some of compds. I inhibited TGFβ type I receptor with IC50 of <0.1 μM.

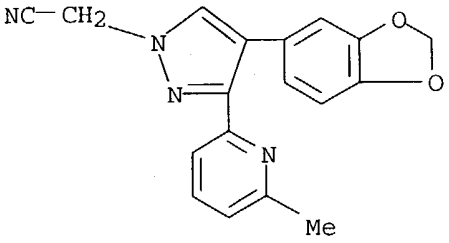
IT **746666-30-8P 746666-31-9P 746666-33-1P**
746666-35-3P 746666-36-4P 746666-37-5P
746666-44-4P 746666-46-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 2-pyrazolylpyridine derivs. as TGFβ receptor inhibitors)

RN 746666-30-8 CAPLUS

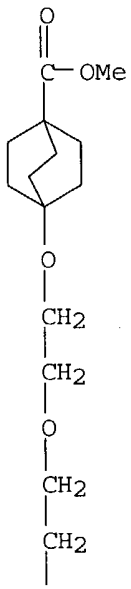
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl]-6-bromo- (9CI) (CA INDEX NAME)

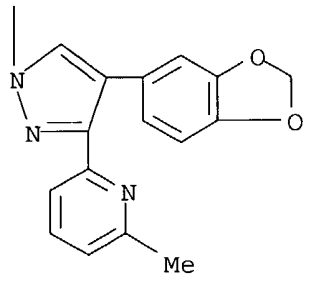


RN 746666-31-9 CAPLUS
CN 1H-Pyrazole-1-acetonitrile, 4-(1,3-benzodioxol-5-yl)-3-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

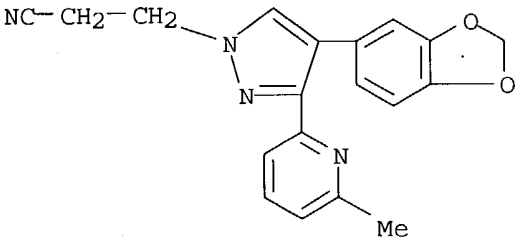


RN 746666-33-1 CAPLUS
CN Bicyclo[2.2.2]octane-1-carboxylic acid, 4-[2-[2-[4-(1,3-benzodioxol-5-yl)-3-(6-methyl-2-pyridinyl)-1H-pyrazol-1-yl]ethoxy]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)

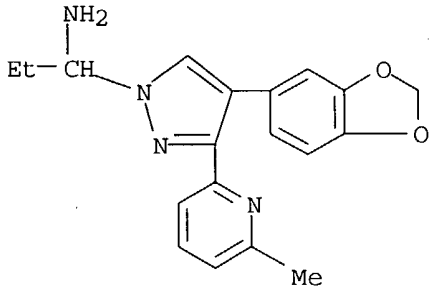




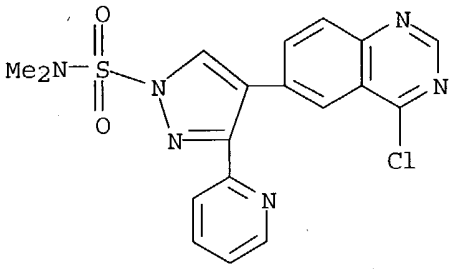
RN 746666-35-3 CAPLUS
CN 1H-Pyrazole-1-propanenitrile, 4-(1,3-benzodioxol-5-yl)-3-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



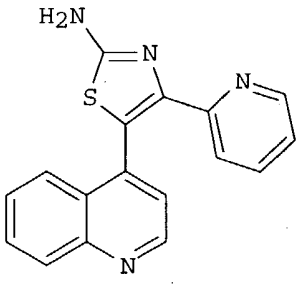
RN 746666-36-4 CAPLUS
CN 1H-Pyrazole-1-methanamine, 4-(1,3-benzodioxol-5-yl)-α-ethyl-3-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 746666-37-5 CAPLUS
CN 1H-Pyrazole-1-propanamine, 3-(2-pyridinyl)-4-(4-quinolinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:620393 CAPLUS
TITLE: Identification of 1,5-Naphthyridine Derivatives as a Novel Series of Potent and Selective TGF-β Type I Receptor Inhibitors
AUTHOR(S): Gellibert, Francoise; Woolven, James; Fouchet, Marie-Helene; Mathews, Neil; Goodland, Helen; Lovegrove, Victoria; Laroze, Alain; Nguyen, Van-Loc; Sautet, Stephane; Wang, Ruolan; Janson, Cheryl; Smith, Ward; Krysa, Gaeel; Boullay, Valerie; de Gouville, Anne-Charlotte; Huet, Stephane; Hartley, David
CORPORATE SOURCE: Departments of Medicinal Chemistry and Biology, GlaxoSmithKline, Les Ulis, 91951, Fr.
SOURCE: Journal of Medicinal Chemistry (2004), 47(18), 4494-4506
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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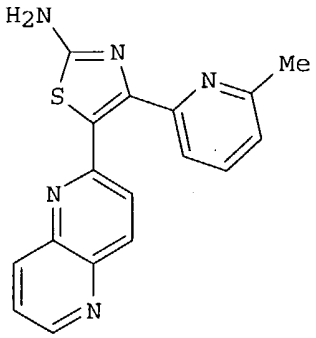

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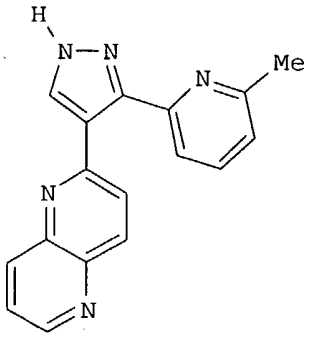


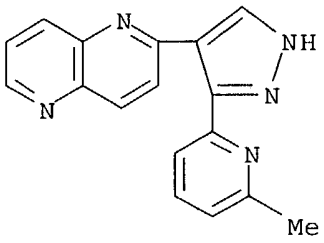
III

AB Optimization of the screening hit I led to the identification of novel 1,5-naphthyridine aminothiazole and pyrazole derivs., which are potent and selective inhibitors of the transforming growth factor-β type I receptor, ALK5. Compds. II and III, which inhibited ALK5 autophosphorylation with IC50 = 6 and 4 nM, resp., showed potent activities in both binding and cellular assays and exhibited selectivity over p38 mitogen-activated protein kinase. The X-ray crystal structure of III in complex with human ALK5 is described, confirming the binding mode proposed from docking studies.

IT **446859-33-2P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(crystal structure with ALK5; preparation, TGF-β inhibition, and structure-activity relationship of pyrazolylnaphthyridines via condensation of naphthyridines with Et picolinate followed by condensation with DMF-DMA and cyclization with hydrazine)

RN 446859-33-2 CAPLUS

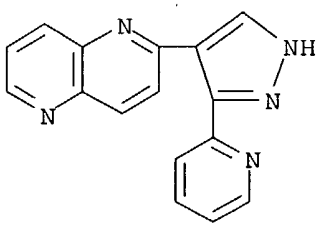
CN 1,5-Naphthyridine, 2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



IT **446859-32-1P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, TGF-β inhibition, and structure-activity relationship of pyrazolylnaphthyridines via condensation of naphthyridines with Et picolinate followed by condensation with DMF-DMA and cyclization with hydrazine)

RN 446859-32-1 CAPLUS

CN 1,5-Naphthyridine, 2-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



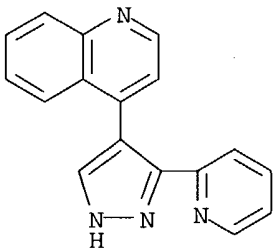
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:362602 CAPLUS

TITLE: Successful shape-Based virtual screening: The discovery of a potent inhibitor of the type I

TGFβ receptor kinase (TβRI). [Erratum to document cited in CA140:174337]
AUTHOR(S): Singh, Juswinder; Chuaqui, Claudio E.; Ann Boriack-Sjodin, P.; Lee, Wen-Cherng; Pontz, Timothy; Corbley, Michael J.; Cheung, H.-Kam; Arduini, Robert M.; Mead, Jonathan N.; Newman, Miki N.; Papadatos, James L.; Bowes, Scott; Josiah, Serene; Ling, Leona E.
CORPORATE SOURCE: Biogen Inc., Cambridge, MA, 01242, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(11), 2991
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal; Errata
LANGUAGE: English
AB An erratum.
IT INDEXING IN PROGRESS
IT **396129-53-6**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery of potent inhibitor of type I TGFβ receptor kinase by shape-based virtual screening (Erratum))
RN 396129-53-6 CAPLUS
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:267242 CAPLUS
DOCUMENT NUMBER: 140:287378
TITLE: Preparation of 2-(pyrazol-3-yl)pyridines and related compounds as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases
INVENTOR(S): Munchhof, Michael John; Blumberg, Laura Cook
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026306	A2	20040401	WO 2003-IB3933	20030908
WO 2004026306	A3	20040701		

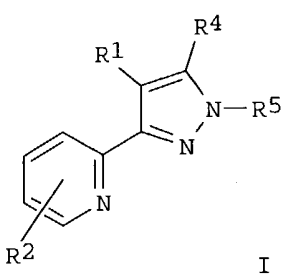
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 2004116474 A1 20040617 US 2003-667189 20030917
PRIORITY APPLN. INFO.: US 2002-412146P P 20020918
US 2003-484543P P 20030702

OTHER SOURCE(S): MARPAT 140:287378

GI



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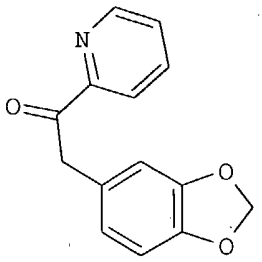

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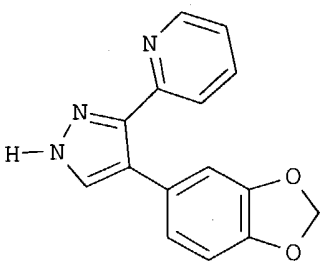


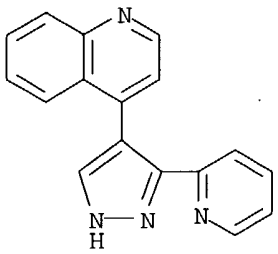
III

AB Title compds. I [R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 = H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.; R5 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of ketone II, e.g., prepared from 1,3-benzodioxole-5-carboxaldehyde in one step, N,N-dimethylformamide di-Me acetal and hydrazine afforded pyrazole III. In β1-transforming growth factors kinase assay, pyrazole III exhibited an IC50 value of 51 nM. Of note, compds. I also possess differential activity, i.e. are selective for β1-TGF over β2-TGF and β3-TGF. Compds. I are claimed useful for the treatment of TGF-related disease states including cancer and fibrotic diseases.

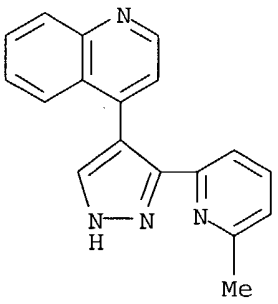
IT **396129-53-6P 607737-87-1P 676261-93-1P**
676261-94-2P 676261-95-3P 676261-96-4P,
4-[1-Methyl-3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]quinoline
676261-97-5P 676261-98-6P 676261-99-7P,
1-Methyl-6-[1-methyl-3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]-1H-benzotriazole **676262-00-3P 676262-02-5P**
676262-03-6P 676262-04-7P 676262-06-9P
676262-07-0P 676262-08-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of 2-(pyrazolyl)pyridines and related compds. as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases)

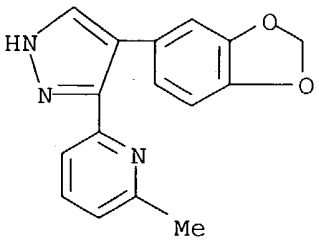
RN 396129-53-6 CAPLUS
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



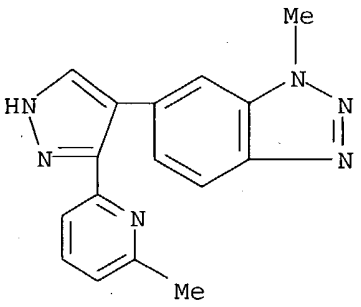
RN 607737-87-1 CAPLUS
CN Quinoline, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676261-93-1 CAPLUS
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl]-6-methyl- (9CI) (CA INDEX NAME)



RN 676261-94-2 CAPLUS
CN 1H-Benzotriazole, 1-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676261-95-3 CAPLUS
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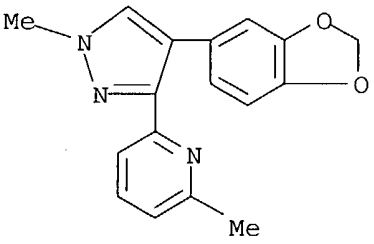
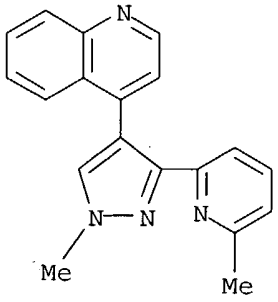
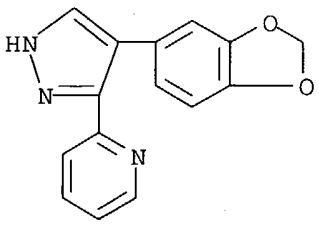


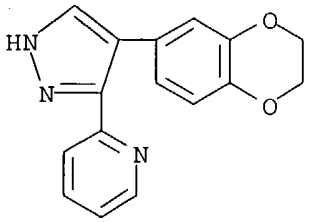
RN 676261-96-4 CAPLUS
CN Quinoline, 4-[1-methyl-3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



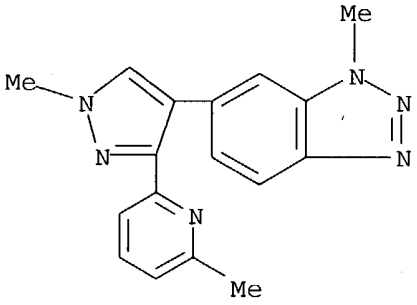
RN 676261-97-5 CAPLUS
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



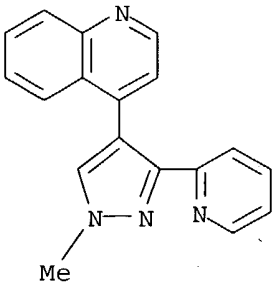
RN 676261-98-6 CAPLUS
CN Pyridine, 2-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



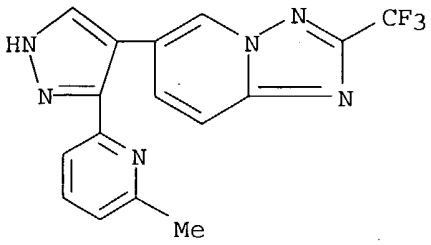
RN 676261-99-7 CAPLUS
CN 1H-Benzotriazole, 1-methyl-6-[1-methyl-3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



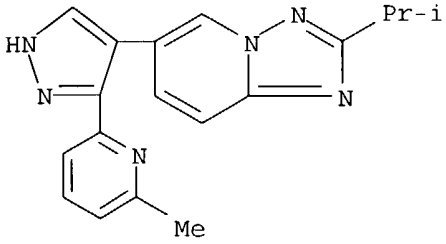
RN 676262-00-3 CAPLUS
CN Quinoline, 4-[1-methyl-3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



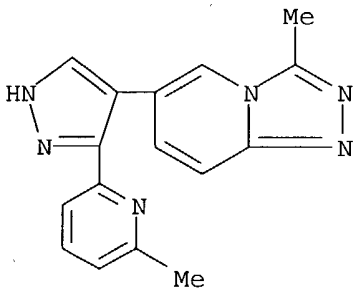
RN 676262-02-5 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyridine, 6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



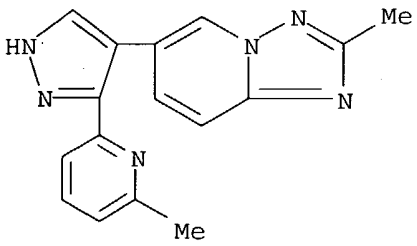
RN 676262-03-6 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyridine, 2-(1-methylethyl)-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676262-04-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

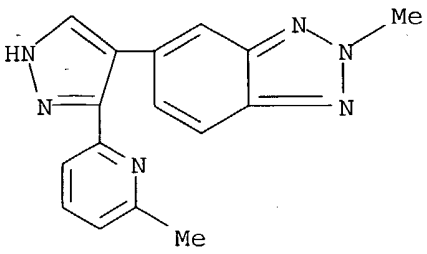


RN 676262-06-9 CAPLUS
CN [1,2,4]Triazolo[1,5-a]pyridine, 2-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

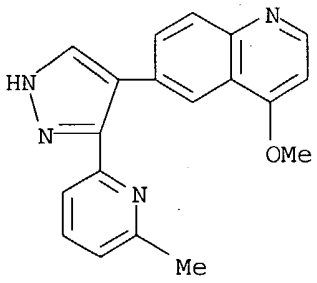


RN 676262-07-0 CAPLUS

CN 2H-Benzotriazole, 2-methyl-5-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676262-08-1 CAPLUS
CN Quinoline, 4-methoxy-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:267239 CAPLUS
DOCUMENT NUMBER: 140:297483
TITLE: Methods of inhibiting TGF-β with substituted pyrazoles, and preparation thereof
INVENTOR(S): Sawyer, Jason Scott; Teicher, Beverly Ann; Yingling, Jonathan Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026302	A1	20040401	WO 2003-US26296	20030916

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

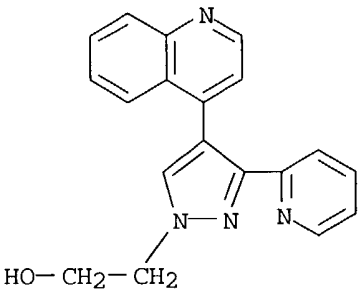
PRIORITY APPLN. INFO.: US 2002-412098P P 20020919

AB Substituted pyrazoles (preparation included) are disclosed which are useful in the treatment of cancer and other disease states influenced by TGF-β by inhibiting TGF-β in a patient in need thereof.

IT **676331-64-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(pyrazole derivs. for inhibiting TGF-β with substituted pyrazoles, preparation, and therapeutic use)

RN 676331-64-9 CAPLUS

CN 1H-Pyrazole-1-ethanol, 3-(2-pyridinyl)-4-(4-quinolinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

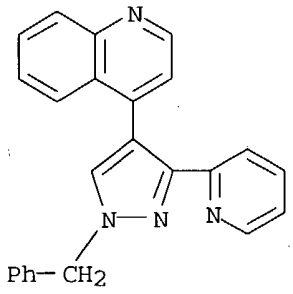


● HCl

IT **607737-96-2P 676331-63-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrazole derivs. for inhibiting TGF-β with substituted pyrazoles, preparation, and therapeutic use)

RN 607737-96-2 CAPLUS

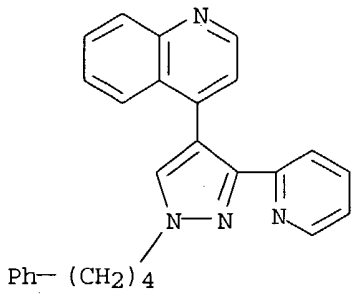
CN Quinoline, 4-[1-(phenylmethyl)-3-(2-pyridinyl)-1H-pyrazol-4-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 676331-63-8 CAPLUS

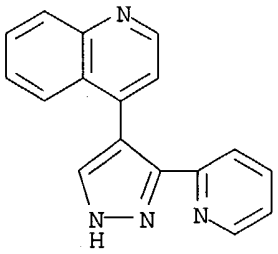
CN Quinoline, 4-[1-(4-phenylbutyl)-3-(2-pyridinyl)-1H-pyrazol-4-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



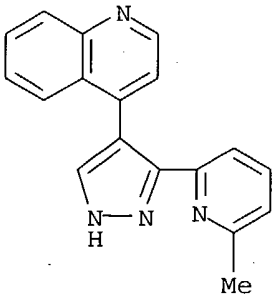
●2 HCl

IT **396129-53-6 607737-87-1 607737-91-7**
676331-29-6 676331-30-9 676331-31-0
676331-32-1 676331-33-2 676331-34-3
676331-35-4 676331-36-5 676331-37-6
676331-39-8 676331-40-1 676331-44-5
676331-45-6 676331-46-7 676331-47-8
676331-48-9 676331-49-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazole derivs. for inhibiting TGF-β with substituted pyrazoles, preparation, and therapeutic use)

RN 396129-53-6 CAPLUS
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

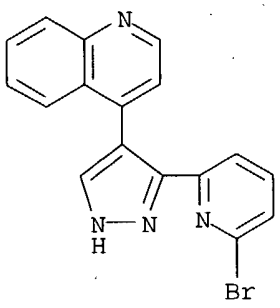


RN 607737-87-1 CAPLUS
CN Quinoline, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

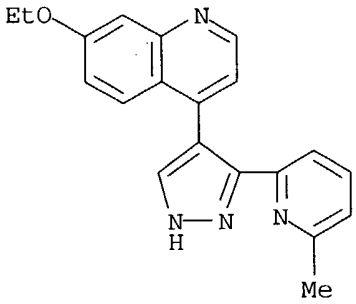


RN 607737-91-7 CAPLUS

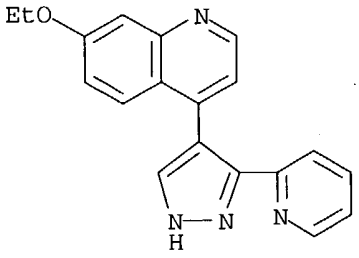
CN Quinoline, 4-[3-(6-bromo-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



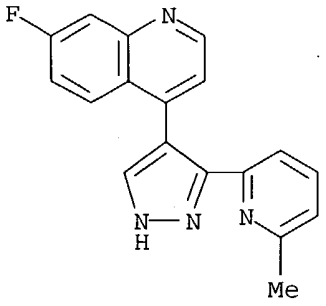
RN 676331-29-6 CAPLUS
CN Quinoline, 7-ethoxy-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



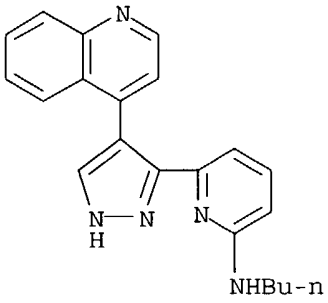
RN 676331-30-9 CAPLUS
CN Quinoline, 7-ethoxy-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



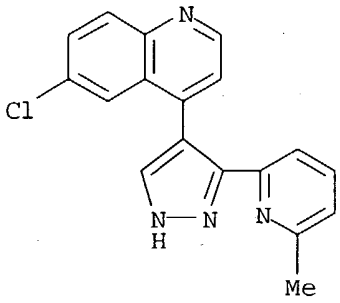
RN 676331-31-0 CAPLUS
CN Quinoline, 7-fluoro-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676331-32-1 CAPLUS
CN 2-Pyridinamine, N-butyl-6-[4-(4-quinolinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

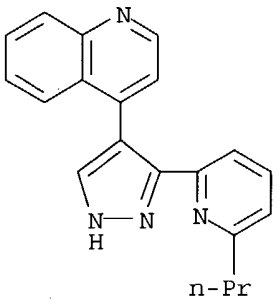


RN 676331-33-2 CAPLUS
CN Quinoline, 6-chloro-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676331-34-3 CAPLUS
CN Quinoline, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

IT **676331-61-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(pyrazole derivs. for inhibiting TGF-β with substituted pyrazoles, preparation, and therapeutic use)
RN 676331-61-6 CAPLUS
CN Quinoline, 4-[3-(6-propyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



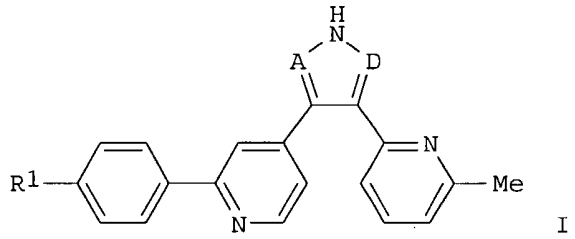
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:162684 CAPLUS
DOCUMENT NUMBER: 140:199324
TITLE: Preparation of (pyridyl)(phenylpyridyl)pyrazoles as inhibitors of the transforming growth factor β
INVENTOR(S): Gellibert, Francoise Jeanne
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016606	A1	20040226	WO 2003-EP8449	20030729

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-17786 A 20020731
OTHER SOURCE(S): MARPAT 140:199324
GI



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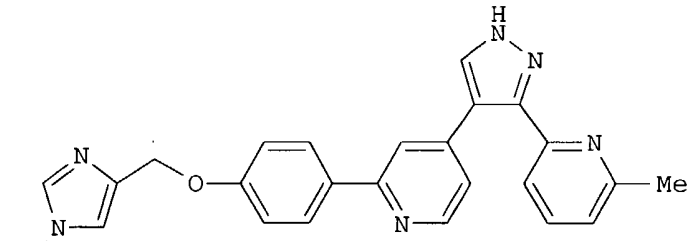


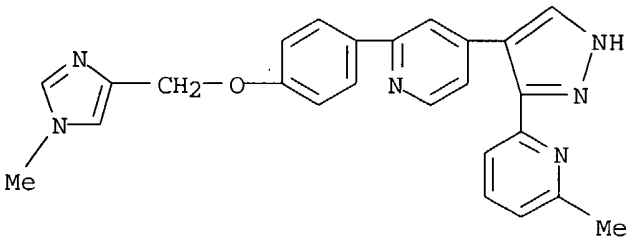
II

AB Title compds. I [wherein either A = CR2 and D = N or A = N and D = CR2; R1 = H, (perfluoro)alkyl, alkenyl, (perfluoro)alkoxy, halo, cyano, NR3R4, (CH2)nNR3R4, O(CH2)nOR5, O(CH2)nNR3R4, O(CH2)n-Het, CONR3R4, CO(CH2)nNR3R4, SO2R5, SO2NR3R4, NR3SO2R5, NR3COR5, NR3CO(CH2)nNR3R4, Het, or O(CH2)nCONR3R4; R2 = H or alkyl; R3 and R4 = independently H, (alkoxy)alkyl, or Het; or NR3R4 = (un)substituted heterocyclyl; R5 = H or alkyl; Het = (un)substituted 5- or 6-membered C-linked heterocyclyl; n = 1-4; or pharmaceutically acceptable salts, solvates, or derivs. thereof] were prepared as inhibitors of the transforming growth factor β (TGF-β) signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase (ALK) 5 receptor. For example, reaction of 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol with 1-methyl-4-chloromethylimidazole•HCl (preparation of starting materials given) in the presence of NaH in CH2Cl2 provided the trityl intermediate, which was deprotected using HCl in MeOH to give II (37%). The latter inhibited TGF-β signaling in HepG2 cells stably transfected with the PAI-1 promotor linked to a luciferase reporter gene with an IC50 value of 34 nM. II also modulated ALK5 receptor activity with an IC50 value of 5 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of disorders mediated by the ALK5 receptor, such as kidney fibrosis (no data).

IT **657398-98-6P**, 2-[4-[(1-Methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-00-3P**, 2-[4-(Ethylsulfonyl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-01-4P**, 4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzonitrile **657399-02-5P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-(4-trifluoromethoxyphenyl)pyridine **657399-03-6P**, 2-(4-Chlorophenyl)-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-04-7P**, 2-(4-Methoxyphenyl)-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-05-8P**, 2-[4-(Methylsulfonyl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-06-9P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-[4-[(pyrrolidin-1-yl)methyl]phenyl]pyridine **657399-07-0P**, 4-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]morpholine **657399-08-1P**, 2-Methoxy-N-methyl-N-[4-[4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]ethanamine **657399-09-2P**,

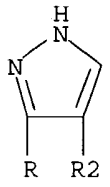
2-[4-[(4-Methoxypiperidin-1-yl)methyl]phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-10-5P**, 4-[4-[4-[5-Methyl-3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]morpholine **657399-11-6P**, 4-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]morpholine **657399-12-7P**, 4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydro-2H-pyran-4-yl)benzamide **657399-13-8P**, N-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]-2-morpholin-4-ylacetamide **657399-14-9P**, 4-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]morpholine **657399-16-1P**, 2-[4-(2-Methyl-1H-imidazol-1-yl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(TGF-β inhibitor; preparation of (pyridyl)(phenylpyridyl)pyrazoles as inhibitors of transforming growth factor β)

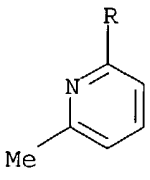
RN 657398-98-6 CAPLUS
CN Pyridine, 2-[4-[(1-methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 657399-00-3 CAPLUS
CN Pyridine, 2-[4-(ethylsulfonyl)phenyl]-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A





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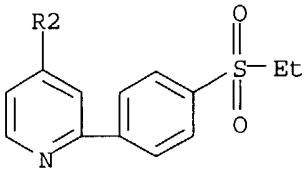
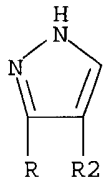
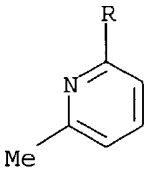


RN 657399-01-4 CAPLUS
CN Benzonitrile, 4-[4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





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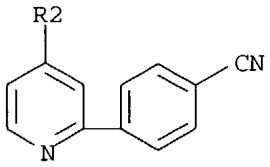
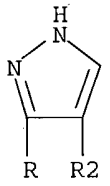
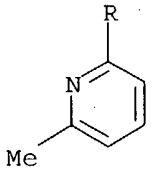


RN 657399-02-5 CAPLUS
CN Pyridine, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





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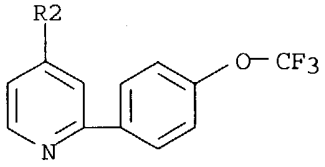
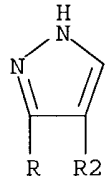
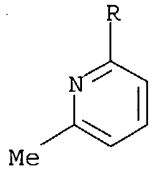


RN 657399-03-6 CAPLUS
CN Pyridine, 2-(4-chlorophenyl)-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A





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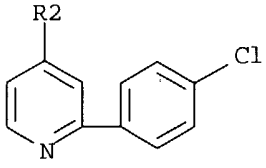


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120851 CAPLUS
DOCUMENT NUMBER: 140:181331
TITLE: Preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders
INVENTOR(S): Dodic, Nerina; Gellibert, Francoise Jeanne
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

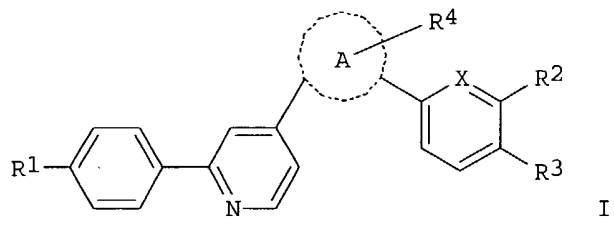
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013135	A1	20040212	WO 2003-EP8496	20030729

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-17751 A 20020731
GB 2003-14698 A 20030624

OTHER SOURCE(S): MARPAT 140:181331
GI



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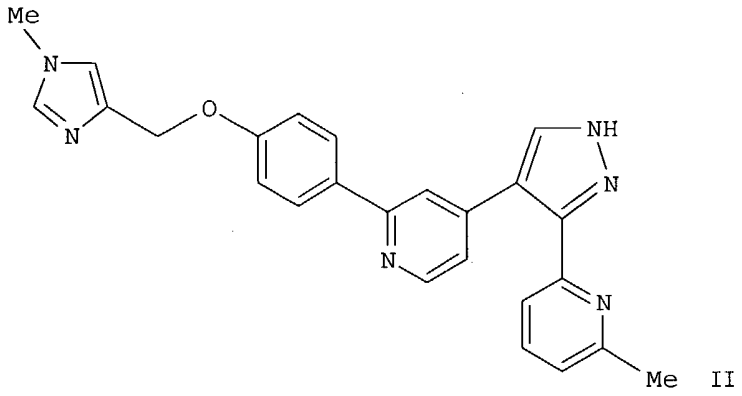


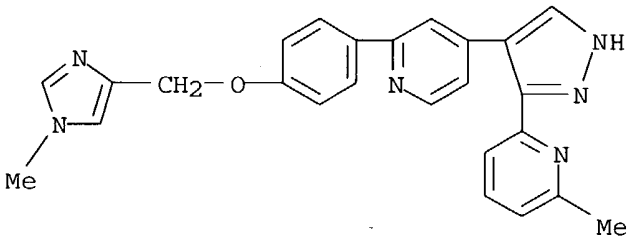
II

AB This invention relates to novel 2-phenylpyridin-4-yl heterocycles (shown as I; variables defined below; e.g. II) that are inhibitors of the transforming growth factor, ('TGF')-β signaling pathway, in particular, the phosphorylation of Smad-2 or Smad-3 by the TGF-β type I or activin-like kinase ('ALK')-5 receptor, methods for their preparation and their use in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway, e.g. fibrosis (no data). All examples of I show ALK-5 receptor modulator activity (having IC50 values at 0.4-275 nM) and TGF-β cellular activity (having IC50 values at 0.001-10 μM). 4-[4-[4-[2-tert-Butyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]phenyl]morpholine showed an ALK-5 receptor modulator activity of 34 nM and TGF-β cellular activity of 183 nM. N-(tetrahydropyran-4-yl)-4-[4-[2-isopropyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]benzamide showed an ALK-5 receptor modulator activity of 25 nM and TGF-β cellular activity of <14 nM. Although the methods of preparation are not claimed, >150 example prepns. of I and .apprx.130 example prepns. of intermediates are included. For example, II was prepared in 37% yield by reacting 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol and NaH in DMF with 1-methyl-4-hydroxymethylimidazole followed by removal of the trityl group using HCl in MeOH; details are also given for preparation of the reactants. For I: A is furan, dioxolane, thiophene, pyrrole, imidazole, pyrrolidine, pyran, pyridine, pyrimidine, morpholine, piperidine, oxazole, isoxazole, oxazoline, oxazolidine, thiazole, isothiazole, thiadiazole, benzofuran, indole, isoindole, indazole, imidazopyridine, quinazoline, quinoline, isoquinoline, pyrazole or triazole; X is N or CH; R1 is H, C1-6alkyl, C1-6alkenyl, C1-6alkoxy, halo, cyano, perfluoro C1-6alkyl, perfluoroC1-6alkoxy, -NR5R6, -(CH2)nNR5R6, -O(CH2)nOR7, -O(CH2)n-Het, -O(CH2)nNR5R6, -CONR5R6, -CO(CH2)nNR5R6, -SO2R7, -SO2NR5R6, -NR5SO2R7, -NR5COR7, -O(CH2)nCONR5R6, -NR5CO(CH2)nNR5R6 or -C(O)R7; R2 is H, C1-6alkyl, halo, cyano or perfluoroC1-6alkyl; R3 is H or halo; R4 is H, halo, Ph, C1-6alkyl or -NR5R6; addnl. details including provisos are given in the claims.

IT **657398-98-6P**, 2-[4-[(1-Methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-00-3P**,

2-[4-(Ethylsulfonyl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-01-4P**, 2-(4-Cyanophenyl)-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-02-5P**, 2-[4-(Trifluoromethoxy)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-03-6P**, 2-(4-Chlorophenyl)-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-04-7P**, 2-(4-Methoxyphenyl)-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-05-8P**, 2-[4-(Methanesulfonyl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine **657399-06-9P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-[4-[(pyrrolidin-1-yl)methyl]phenyl]pyridine **657399-07-0P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-[4-[(morpholin-4-yl)methyl]phenyl]pyridine **657399-08-1P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-[4-[[(2-methoxyethyl)(methyl)amino]methyl]phenyl]pyridine **657399-09-2P**, 4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]-2-[4-[(4-methoxypiperidin-1-yl)methyl]phenyl]pyridine **657399-10-5P**, 4-[3-(6-Methylpyridin-2-yl)-5-methyl-1H-pyrazol-4-yl]-2-[4-[(morpholin-4-yl)methyl]phenyl]pyridine **657399-11-6P**, 4-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]morpholine **657399-12-7P**, 4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydro-2H-pyran-4-yl)benzamide **657399-13-8P**, N-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]-2-morpholin-4-ylacetamide **657399-14-9P**, 4-[4-[4-[3-(6-Methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]morpholine **657399-16-1P**, 2-[4-(2-Methyl-1H-imidazol-1-yl)phenyl]-4-[3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders)

RN 657398-98-6 CAPLUS
CN Pyridine, 2-[4-[(1-methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 657399-00-3 CAPLUS
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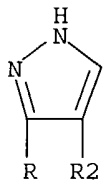

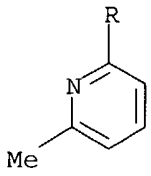

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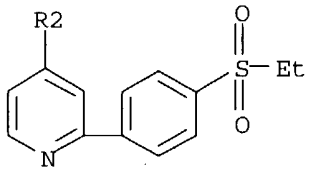
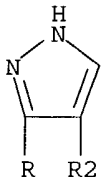
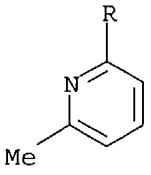


RN 657399-01-4 CAPLUS
CN Benzonitrile, 4-[4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





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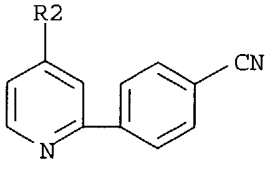

RN 657399-02-5 CAPLUS
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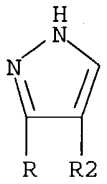
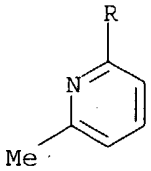
PAGE 1-A





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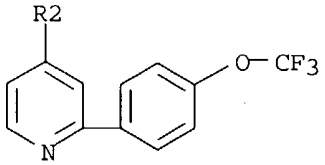

RN 657399-03-6 CAPLUS
CN Pyridine, 2-(4-chlorophenyl)-4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

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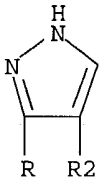

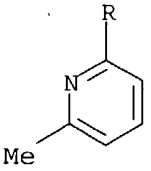

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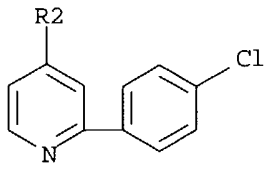

RN 657399-04-7 CAPLUS
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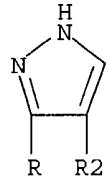
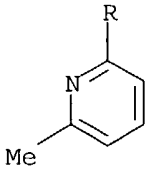
PAGE 1-A





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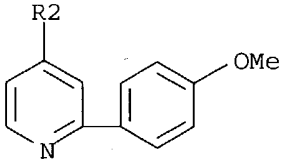
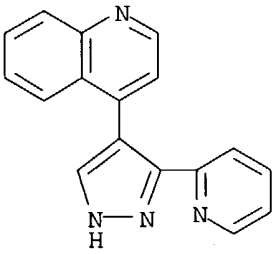


RN 657399-05-8 CAPLUS
CN Pyridine, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

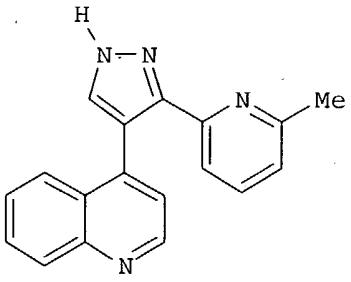
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:928870 CAPLUS
DOCUMENT NUMBER: 140:174337
TITLE: Successful shape-Based virtual screening: The discovery of a potent inhibitor of the type I TGFβ receptor kinase (TβRI)
AUTHOR(S): Singh, Juswinder; Chuaqui, Claudio E.; Boriack-Sjodin, P. Ann; Lee, Wen-Cherng; Pontz, Timothy; Corbley, Michael J.; Cheung, H.-Kam; Arduini, Robert M.; Mead, Jonathan N.; Newman, Miki N.; Papadatos, James L.; Bowes, Scott; Josiah, Serene; Ling, Leona E.
CORPORATE SOURCE: Biogen Inc., Cambridge, MA, 02142, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(24), 4355-4359
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We describe the discovery, using shape-based virtual screening, of a potent, ATP site-directed inhibitor of the TβRI kinase, an important and novel drug target for fibrosis and cancer. The first detailed report of a TβRI kinase small mol. co-complex confirms the predicted binding interactions of our small mol. inhibitor, which stabilizes the inactive kinase conformation. Our results validate shape-based screening as a powerful tool to discover useful leads against a new drug target.
IT **396129-53-6**, HTS 466284
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery of potent inhibitor of type I TGFβ receptor kinase by shape-based virtual screening)
RN 396129-53-6 CAPLUS
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:617622 CAPLUS
DOCUMENT NUMBER: 139:292195
TITLE: Synthesis and Activity of New Aryl- and Heteroaryl-Substituted Pyrazole Inhibitors of the Transforming Growth Factor-β Type I Receptor Kinase Domain
AUTHOR(S): Sawyer, J. Scott; Anderson, Bryan D.; Beight, Douglas W.; Campbell, Robert M.; Jones, Michael L.; Herron, David K.; Lampe, John W.; McCowan, Jefferson R.; McMillen, William T.; Mort, Nicholas; Parsons, Stephen; Smith, Edward C. R.; Vieth, Michal; Weir,

Leonard C.; Yan, Lei; Zhang, Faming; Yingling, Jonathan M.
CORPORATE SOURCE: Discovery Chemistry Research and Technology, Cancer Research, and Lead Optimization Biology, The Lilly Research Laboratories,Lilly Corporate Center, Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(19), 3953-3956
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:292195
GI



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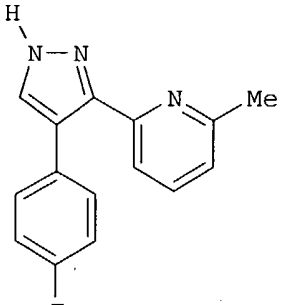
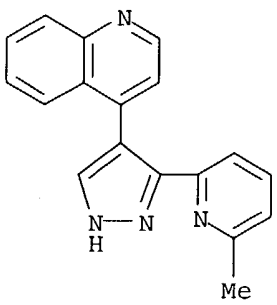


II

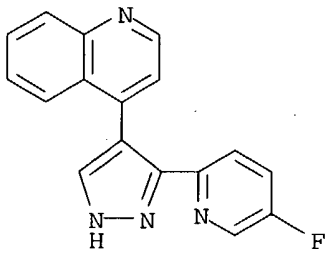
AB Pyrazole-based inhibitors, e.g., I and II, of the transforming growth factor-β type I receptor kinase domain (TβR-I) are described. Examination of the SAR in both enzyme- and cell-based in vitro assays resulted in the emergence of two subseries featuring differing selectivity vs. p38 MAP kinase. A common binding mode at the active site has been established by successful cocrystn. and X-ray anal. of potent inhibitors with the TβR-I receptor kinase domain.

IT **607737-87-1P 607737-89-3P 607737-90-6P 607737-91-7P 607737-96-2P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, transforming growth factor inhibitory activity and MSBAR of heteroaryl substituted pyrazoles via condensation of heteroaryl or aryl esters with lepidine or picoline with subsequent cyclocondensation with hydrazines)

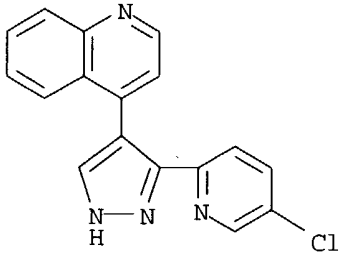
RN 607737-87-1 CAPLUS
CN Quinoline, 4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



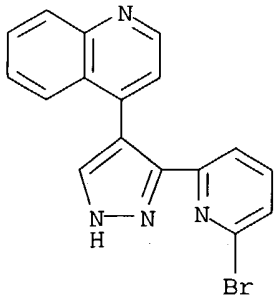
RN 607737-89-3 CAPLUS
CN Quinoline, 4-[3-(5-fluoro-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



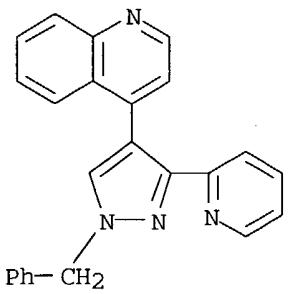
RN 607737-90-6 CAPLUS
CN Quinoline, 4-[3-(5-chloro-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 607737-91-7 CAPLUS
CN Quinoline, 4-[3-(6-bromo-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

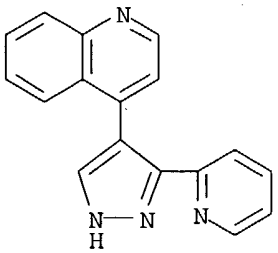


RN 607737-96-2 CAPLUS
CN Quinoline, 4-[1-(phenylmethyl)-3-(2-pyridinyl)-1H-pyrazol-4-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

IT **396129-53-6**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(structure-activity relationship and transforming growth factor inhibitory activity of heteroaryl substituted pyrazoles)
RN 396129-53-6 CAPLUS
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



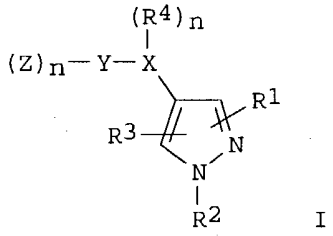
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849613 CAPLUS
DOCUMENT NUMBER: 137:353066
TITLE: Preparation of nitrogenous fused-ring compound having pyrazolyl group as substituents as inhibitors of activation of signal transduction and activation of transcription (STAT6) protein
INVENTOR(S): Yoshida, Ichiro; Yoneda, Naoki; Ohashi, Yoshiaki; Suzuki, Shuichi; Miyamoto, Mitsuaki; Miyazaki, Futoshi; Seshimo, Hidenori; Kamata, Junichi; Takase, Yasutaka; Shirato, Manabu; Shimokubo, Daiya; Sakuma, Yoshinori; Yokohama, Hiromitsu
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1006 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088107	A1	20021107	WO 2002-JP4156	20020425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1382603 A1 20040121 EP 2002-722791 20020425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: JP 2001-129959 A 20010426
WO 2002-JP4156 W 20020425
OTHER SOURCE(S): MARPAT 137:353066

GI



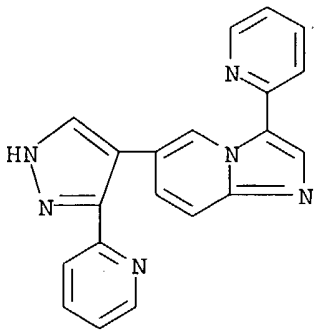
I

AB The 4-(N-containing fused aromatic heterocyclyl)pyrazoles (I) or salts thereof, or hydrates of either [X = a nitrogenous fused aromatic heterocyclic group, e.g., imidazo[1,2-a]pyridine, having (R4)n as a substituent; wherein n = an integer of 0-3; R4 = H, halo, cyano, OH, NH2, C1-6 alkyl, halo-C1-6 alkyl, C2-6 alkenyl, C1-6 alkylsulfonyl, C1-6 alkylsulfonylamino, C1-6 alkylsulfinyl, N-mono, or N,N-di(C1-6 alkyl)amino, C1-6 alkoxy, C1-6 alkylsulfanyl, CONH2, etc.; Y = C3-8 cycloalkyl, C4-8 cycloalkenyl, 5- to 14-membered nonarom. or aromatic heterocyclyl, C6-14 aromatic hydrocarbyl, benzene- or 5- or 6-membered aromatic heterocycle-fused 5- to 7-membered nonarom. ring group; Z = H, NH2, halo, HO, NO2, cyano, N3, CHO, HONH, SO2NH2, guanidino, oxo, C2-6 alkenyl, C1-6 alkoxy, etc.; R1 = H, halo, HO, NO2, cyano, halo-C1-6 alkyl, hydroxy- or cyano-C1-6 alkyl, C2-6 alkenyl, etc.; R2 = H, pyrazolyl; R3 = H, halo, cyano, NH2, C1-4 alkyl, halo-C1-4 alkyl] are prepared These compds. are inhibitors of STAT6 protein activation and IL-4 and/or IL-13 signal transduction and are useful for prevention and/or treatment of diseases on which the inhibition of STAT6 activation and/or IL-4 and/or IL-13 signal transduction is effective. The diseases include allergy, allergic rhinitis, bronchial asthma, atopic dermatitis, pollinosis, digestive tract allergy, urticaria, hypersensitivity pneumonia, lung aspergillosis, eosinophil leukemia, parasite infection, eosinophilia, eosinophil pneumonia, eosinophil gastroenteritis, autoimmune disease, systemic lupus erythematosus, virus infection, bacteria infection, obesity, overeating (hyperphagia), malignant tumor, and acquired immunodeficiency syndrome (AIDS). Thus, 4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzonitrile was coupled with 6-[3-(4-fluorophenyl)-1-trityl-1H-pyrazolyl]-3-iodoimidazo[1,2-a]pyridine in the presence of tetrakis(triphenylphosphine)palladium and K3PO4 in DMF at 75° for 3 h followed by treating a solution of the coupling product in THF and MeOH with 5 N aqueous HCl to give 4-[6-[3-(4-fluorophenyl)-1H-4-pyrazolyl]imidazo[1,2-a]pyridin-3-

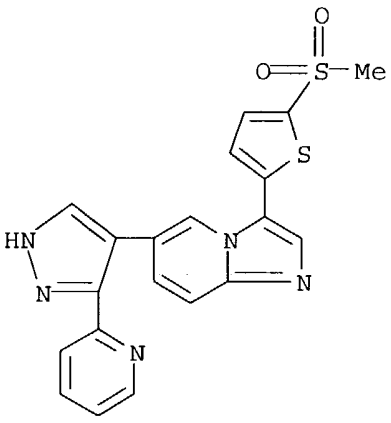
yl]benzonitrile dihydrochloride (II). II showed IC50 of <10 nM for inhibiting the IL-4-induced induction of alkali phosphatase in human embryonic kidney cell transfected with STAT gene and STAT reporter gene.

IT **474699-55-3P 474699-71-3P 474703-26-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (N-containing heterocyclyl)pyrazole as inhibitors of activation
of STAT6 protein and/or IL-4 and/or IL-13 signal transduction as preventives and/or remedies of diseases)

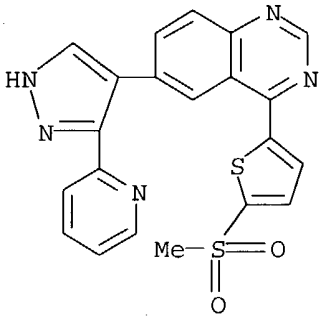
RN 474699-55-3 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-pyridinyl)-6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 474699-71-3 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-[5-(methylsulfonyl)-2-thienyl]-6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

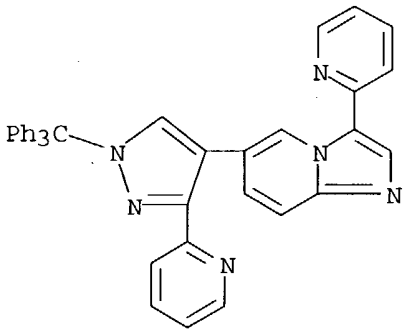


RN 474703-26-9 CAPLUS
CN Quinazoline, 4-[5-(methylsulfonyl)-2-thienyl]-6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

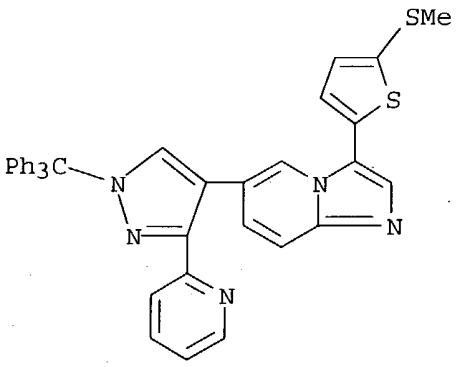


IT **474697-80-8P 474697-98-8P 474697-99-9P**
474702-14-2P 474702-15-3P 474708-43-5P
474708-74-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (N-containing heterocyclyl)pyrazole as inhibitors of activation
of STAT6 protein and/or IL-4 and/or IL-13 signal transduction as preventives and/or remedies of diseases)

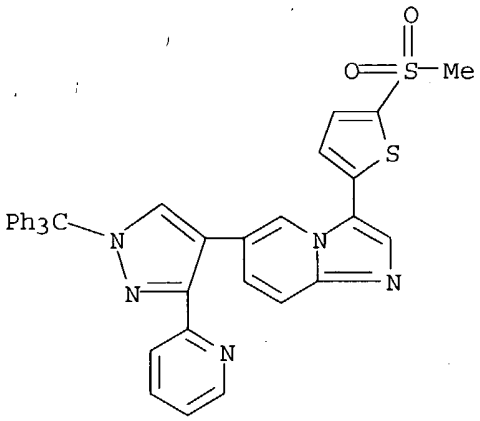
RN 474697-80-8 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-(2-pyridinyl)-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



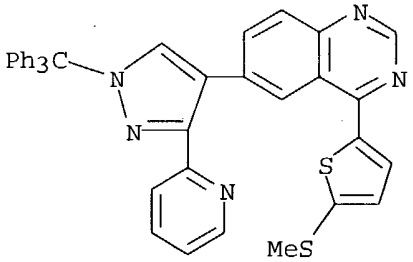
RN 474697-98-8 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-[5-(methylthio)-2-thienyl]-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



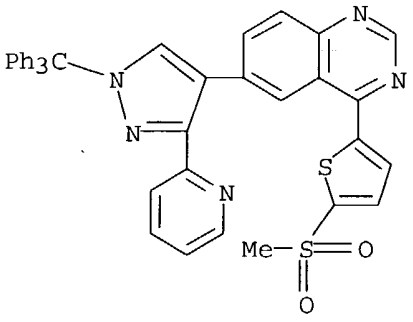
RN 474697-99-9 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-[5-(methylsulfonyl)-2-thienyl]-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



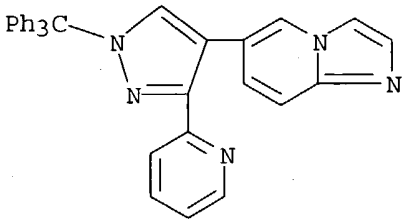
RN 474702-14-2 CAPLUS
CN Quinazoline, 4-[5-(methylthio)-2-thienyl]-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



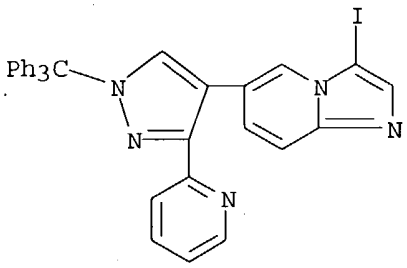
RN 474702-15-3 CAPLUS
CN Quinazoline, 4-[5-(methylsulfonyl)-2-thienyl]-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 474708-43-5 CAPLUS
CN Imidazo[1,2-a]pyridine, 6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 474708-74-2 CAPLUS
CN Imidazo[1,2-a]pyridine, 3-iodo-6-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:658110 CAPLUS
DOCUMENT NUMBER: 137:201305
TITLE: Pyridinyl-substituted pyrazole derivatives useful against TGF-β overexpression, and their preparation and use
INVENTOR(S): Gellibert, Francoise Jeanne; Mathews, Neil
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

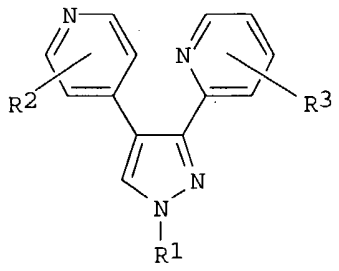
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066462	A1	20020829	WO 2002-EP938	20020130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1355903	A1	20031029	EP 2002-719740	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521915	T2	20040722	JP 2002-565977	20020130
US 2004087623	A1	20040506	US 2003-470856	20030731

PRIORITY APPLN. INFO.:

GB 2001-2661	A	20010202
GB 2001-19424	A	20010809
WO 2002-EP938	W	20020130

OTHER SOURCE(S): MARPAT 137:201305

GI



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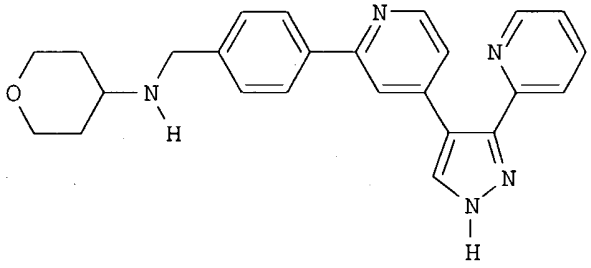


II

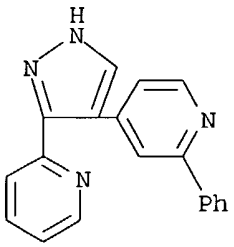
AB Therapeutically active pyrazole derivs. of formula I are disclosed, as well as processes for their preparation, their use in therapy [particularly in the treatment or prophylaxis of disorders characterized by overexpression of transforming growth factor β (TGF-β)], and pharmaceutical compns. for use in such therapy. In formula I, R1 is selected from H, C1-4 alkyl or CH2CONR4R5, where R4 is selected from H or C1-4 alkyl and R5 is C1-4 alkyl; R2 is selected from Ph, furanyl, or thienyl, wherein the Ph may be further substituted by one or more substituents, which may be the same or different, selected from halo (such as F, Cl, Br), cyano, CF3, OCF3, C1-4 alkyl, OR6, O(CH2)nXR6R7, O(CH2)nOR6, O(CH2)nCOR6, O(CH2)n-C2-6-alkenyl, O(CH2)n-C2-6-alkynyl, (CH2)nNR6R7, CONR6R7, NHCOR6, and NR6R7, where n is 1 to 6, and X is C, N, or S, and wherein the furanyl and thienyl may be further substituted by one or more substituents, which may be the same or different, selected from halo, cyano, CF3, OH, OCF3, C1-4 alkyl, and C1-4 alkoxy. Furthermore, R6 and R7 which may be the same or different, are selected from H, C1-6 alkyl, cycloalkyl, cycloalkyl-C1-6-alkyl, aryl, aryl-C1-6-alkyl, heteroaryl, heteroaryl-C1-6-alkyl, heterocyclyl, heterocyclyl-C1-6-alkyl, C1-4-alkoxy-C1-6-alkyl, hydroxy-C1-6-alkyl, (CH2)nNR8R9; or R6R7 together with the atom to which they are attached form a 3- to 7-membered saturated or unsatd. ring which may contain one or more heteroatoms selected from N, S, or O, and wherein the ring may be further substituted by one or more substituents selected from halo, cyano, CF3, OH, OCF3, C1-4 alkyl, C1-4 alkoxy and NR8R9; R8 and R9 which may be the same or different are selected from H or C1-6 alkyl, wherein the C1-6 alkyl may be further substituted by one or more substituents selected from halo, cyano, CF3, and OH; R3 is selected from H, halo, cyano, CF3, C1-4 alkyl, and C1-4 alkoxy. Salts and solvates of I are included as well. I are TGF-β

inhibitors which act at the TGF-β type I (Alk5) receptor level, and thereby inhibit phosphorylation of the Smad-2 or Smad-3 proteins. Projected uses include treatment or prophylaxis of diseases such as fibrosis (especially liver or kidney), cancer development, abnormal bone function, inflammatory disorders, and scarring. The compds. are particularly suited to treatment of fibrosis and related conditions. Prepns. of 47 compds. and various intermediates are given. For instance, 2-bromo-4-methylpyridine was deprotonated and condensed with Et picolinate to give 2-(2-bromopyridin-4-yl)-1-(pyridin-2-yl)ethanone. Cyclocondensation of this ketone with DMF di-Me acetal and hydrazine gave the corresponding pyrazole, which was protected by N-tritylation and arylated at bromine using 4-formylphenylboronic acid under Pd(0) catalysis. The resultant aldehyde was reductively aminated by 4-aminotetrahydropyran and NaBH(OAc)3 to give title compound II. All 47 compds. I inhibited TGF-β signaling in vitro with IC50 values of 5 μM or below, and inhibited the kinase Alk5 receptor (cloned, expressed in baculovirus/Sf9 cells) with IC50 values of 1 μM or less.

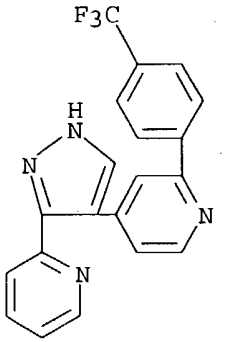
IT **452342-37-9P**, 2-Phenyl-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-38-0P**, 2-[4-(Trifluoromethyl)phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-39-1P**, 2-(4-Methoxyphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-40-4P**, 2-(4-Fluorophenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-41-5P**, 2-(4-Chlorophenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-42-6P**, 2-(Furan-2-yl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-43-7P**, 2-[4-(Trifluoromethoxy)phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-44-8P**, 2-(4-Methylphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-45-9P**, 2-(4-Ethylphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-46-0P**, 2-(Thiophen-3-yl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-48-2P**, 2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-50-6P**, 3-[[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amino]propanenitrile **452342-51-7P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]morpholine **452342-52-8P**, 2-[4-[(1-Methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-53-9P**, 2-[4-[(1-Methyl-1H-imidazol-2-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-54-0P**, 2-[4-[(3-Methyl-3H-imidazol-4-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-55-1P**, 2-[4-[2-(1H-Imidazol-1-yl)ethoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-56-2P**, [4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl](tetrahydropyran-4-yl)amine **452342-57-3P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]morpholine hydrochloride **452342-58-4P**, (Pyridin-3-ylmethyl)[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-59-5P**, 2-(Piperidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-60-8P**, 2-(Pyrrolidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-61-9P**, 2-(Morpholin-4-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-62-0P**, 2-(4-Methylpiperazin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-63-1P**, 3-(Piperidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide hydrochloride **452342-64-2P**, 3-(Morpholin-4-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide **452342-65-3P**, 3-(4-Methylpiperazin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide **452342-67-5P**, 4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydropyran-4-yl)benzamide **452342-69-7P**, N-[(1-Ethylpyrrolidin-2-yl)methyl]-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-

yl]pyridin-2-yl]benzamide **452342-71-1P**, 1-Ethyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]piperazine **452342-73-3P**, N-Methyl-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl](tetrahydropyran-4-yl)amine **452342-75-5P**, [[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl](tetrahydropyran-4-yl)amine **452342-77-7P**, N-Methyl-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl](tetrahydropyran-4-yl)amine **452342-79-9P**, 4-Methoxy-1-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]piperidine **452342-81-3P**, 1-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]pyrrolidine **452342-83-5P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]piperazine **452342-85-7P**, (1-Methylpiperidin-4-yl)[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-87-9P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]piperazine **452342-89-1P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]morpholine **452342-90-4P**, 4-(Dimethylamino)-1-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]piperidine **452342-92-6P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]piperazine **452342-93-7P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]thiomorpholine **452342-94-8P**, Dimethyl[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-95-9P**, 4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydropyran-4-ylmethyl)benzamide **452342-96-0P**, N-(2-Methoxyethyl)-N-methyl-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide **452342-97-1P**, N-(2-Methoxyethyl)-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide **452342-98-2P**, N-(Cyclohexylmethyl)-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate)

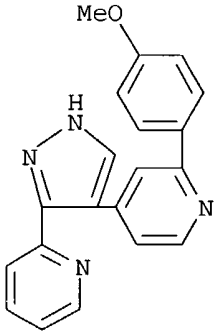
RN 452342-37-9 CAPLUS
CN Pyridine, 2-phenyl-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 452342-38-0 CAPLUS
CN Pyridine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 452342-39-1 CAPLUS
CN Pyridine, 2-(4-methoxyphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)



RN 452342-40-4 CAPLUS
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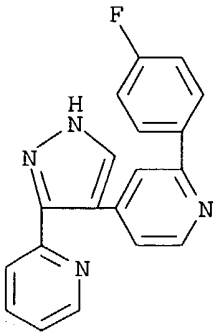
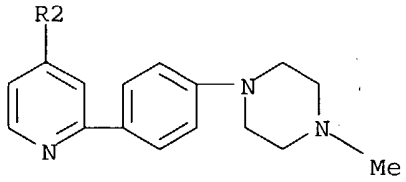
(CA INDEX NAME)



RN 452342-41-5 CAPLUS
CN Pyridine, 2-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

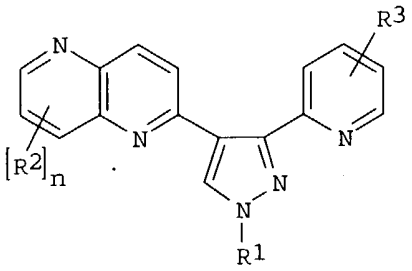


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:615611 CAPLUS
DOCUMENT NUMBER: 137:169515
TITLE: Preparation of 3-(2-pyridyl)-4([1,5]naphthyridin-2-yl)pyrazoles as TGF-β inhibitors
INVENTOR(S): Gellibert, Francoise Jeanne; Hartley, Charles David; Mathews, Neil; Woolven, James Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062794	A2	20020815	WO 2002-EP939	20020130
WO 2002062794	A3	20021003		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1358187	A2	20031105	EP 2002-702326	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523541	T2	20040805	JP 2002-563147	20020130
US 2004063949	A1	20040401	US 2003-470858	20030731
PRIORITY APPLN. INFO.:			GB 2001-2672 A	20010202
			WO 2002-EP939 W	20020130

OTHER SOURCE(S): CASREACT 137:169515; MARPAT 137:169515
GI



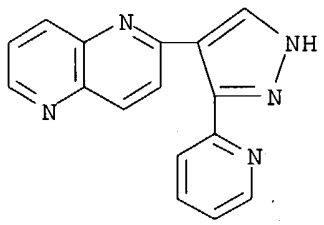
I

AB The title compds. [I; R1 = H, alkyl, CH2CONR4R5; n = 0-5; R2 = halo, CN, CF3, etc.; R3 = H, halo, CN, etc.; R4 = H, alkyl; R5 = alkyl], useful in therapy, particularly in the treatment or prophylaxis of disorders characterized by overexpression of transforming growth factor β (TGF-β), were prepared Thus, addition of acetic acid to 2-([1,5]naphthyridin-2-yl)-1-(pyridin-2-yl)ethanone in DMF followed by addition of DMF/DMA, and then N2H4.H2O afforded 73% I [R1-R3 = H] which showed IC50 of about 0.05 μM in TGF-β assay.

IT **446859-32-1P 446859-33-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(2-pyridyl)-4([1,5]naphthyridin-2-yl)pyrazoles as TGF-β inhibitors)

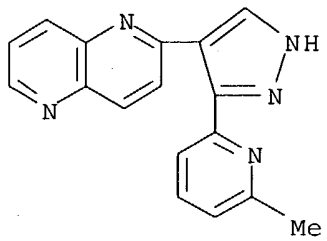
RN 446859-32-1 CAPLUS

CN 1,5-Naphthyridine, 2-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446859-33-2 CAPLUS

CN 1,5-Naphthyridine, 2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:615603 CAPLUS
DOCUMENT NUMBER: 137:169514

10/667,189

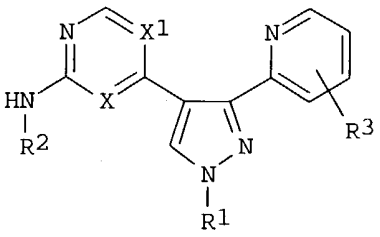
TITLE: Preparation of pyrazoles as TGF-β inhibitors
INVENTOR(S): Gellibert, Francoise Jeanne
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062787	A1	20020815	WO 2002-GB424	20020131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1363904	A1	20031126	EP 2002-710136	20020131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521901	T2	20040722	JP 2002-563140	20020131
US 2004097502	A1	20040520	US 2003-470862	20030731

PRIORITY APPLN. INFO.:

GB 2001-2670	A	20010202
GB 2001-19399	A	20010809
WO 2002-GB424	W	20020131

OTHER SOURCE(S): MARPAT 137:169514
GI



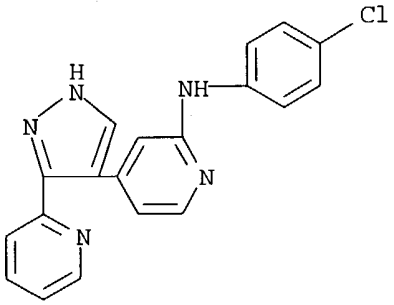
I

AB The title compds. [I; R1 = H, alkyl, CH2CONR4R5 (wherein R4 = H, alkyl; R5 = alkyl); R2 = (un)substituted (CH2)nPh, (CH2)nheterocyclyl, (CH2)nheteroaryl; R3 = H, halo, CN, etc.; n = 0-5; X, X1 = CH, N, provided that X and X1 are not both N], useful in therapy, particularly in the treatment of prophylaxis of disorders characterized by overexpression of transforming growth factor β (TGF-β), were prepared Thus reacting 4-{4-[3-(pyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]-(pyridin-2-yl)amino}phenol (preparation given) with 1-(2-chloroethyl)piperidine.HCl in the presence of Cs2CO3 in Me2CO followed by trityl group removal afforded 49% I [R1, R3 = H; R2 = 4-(2-piperidinoethoxy)phenyl]. All 28 exemplified compds. I showed IC50 of 5 μM or below in TGF-β assay, and IC50 of 1 μM or below against kinase Alk5.

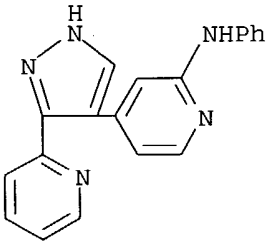
IT **446880-51-9P 446880-52-0P 446880-53-1P**
446880-54-2P 446880-55-3P 446880-56-4P
446880-57-5P 446880-58-6P 446880-59-7P
446880-61-1P 446880-62-2P 446880-63-3P

446880-64-4P 446880-65-5P 446880-66-6P
446880-67-7P 446880-68-8P 446880-69-9P
446880-70-2P 446880-71-3P 446880-72-4P
446880-73-5P 446880-74-6P 446880-75-7P
446880-76-8P 446880-77-9P 446880-78-0P
446880-79-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles as TGF-β inhibitors)

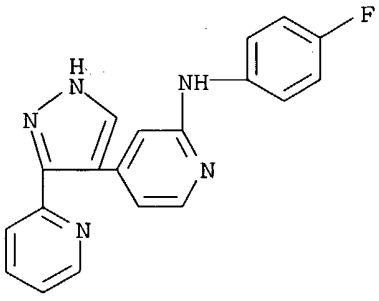
RN 446880-51-9 CAPLUS
CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446880-52-0 CAPLUS
CN 2-Pyridinamine, N-phenyl-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

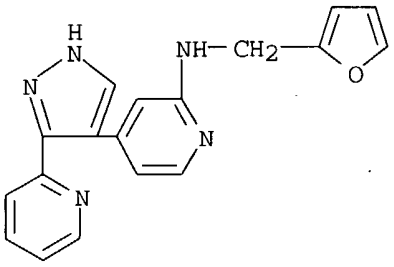


RN 446880-53-1 CAPLUS
CN 2-Pyridinamine, N-(4-fluorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

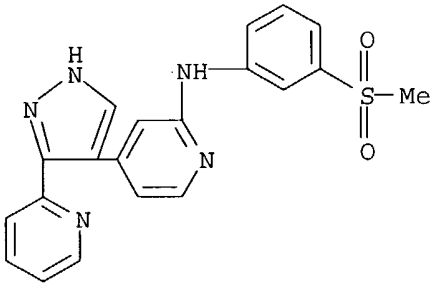


RN 446880-54-2 CAPLUS

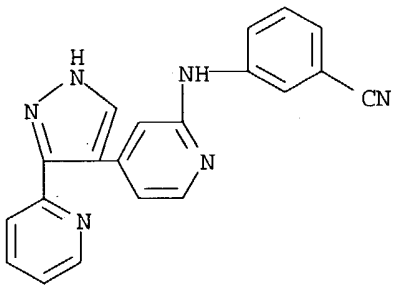
CN 2-Pyridinamine, N-(2-furanylmethyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



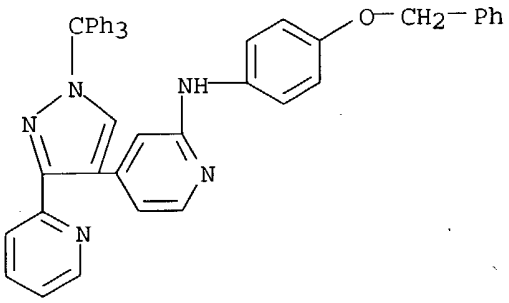
RN 446880-55-3 CAPLUS
CN 2-Pyridinamine, N-[3-(methylsulfonyl)phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



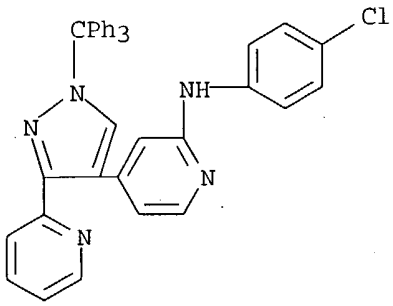
RN 446880-56-4 CAPLUS
CN Benzonitrile, 3-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)



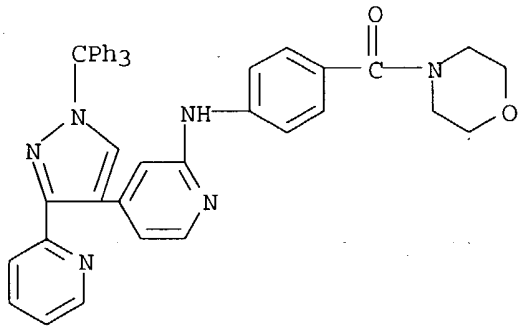
RN 446880-57-5 CAPLUS
CN Benzonitrile, 2-methoxy-4-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)



RN 446880-89-3 CAPLUS
CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446880-90-6 CAPLUS
CN Morpholine, 4-[4-[[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

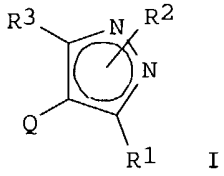
L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:881141 CAPLUS
DOCUMENT NUMBER: 134:29414
TITLE: Preparation of substituted pyrazole compounds as p38 MAP kinase inhibitors
INVENTOR(S): Minami, Nobuyoshi; Sato, Michitaka; Hasumi, Koichi; Yamamoto, Norio; Keino, Katsuyuki; Matsui, Teruaki; Kanada, Arihiro; Ohta, Shuji; Saito, Takahisa; Sato, Shuichiro; Asagarasu, Akira; Doi, Satoshi; Kobayashi,

Motohiro; Sato, Jun; Asano, Hajime
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075131	A1	20001214	WO 2000-JP3547	20000601
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1188754	A1	20020320	EP 2000-931639	20000601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AU 766079	B2	20031009	AU 2000-49522	20000601
US 6667325	B1	20031223	US 2001-980579	20011203
US 2004087628	A1	20040506	US 2003-693461	20031027

PRIORITY APPLN. INFO.:
JP 1999-156683 A 19990603
JP 1999-157011 A 19990603
WO 2000-JP3547 W 20000601
US 2001-980579 A3 20011203

OTHER SOURCE(S): MARPAT 134:29414
GI



I

AB Substituted pyrazole compds. of general formula (I; wherein R1 is -CH(OH)-CH(R4)-(A)n-Y, -CH2-CH(R4)-(A)n-Y, -CO-B1-A-Y, or the like (wherein A is lower alkylene; Y is aryl which may be substituted with, e.g., halogeno, or the like; R4 is hydrogen or lower alkyl; B1 is -CH(R4)- or -N(R4)-; and n is 0 or 1); R2 is hydrogen, lower alkyl which may be substituted with hydroxyl or the like, or aralkyl; R3 is Ph which may be substituted with halogeno or the like, or pyridyl; and Q is pyridyl or quinolyl) or salts thereof are prepared These compds. exhibit an excellent p38 MAP kinase inhibiting effect and are useful in the prevention or treatment of tumor necrosis factor α-related diseases, interleukin 1-related diseases, interleukin 6-related diseases, or cyclooxygenase II-related diseases. The above diseases include chronic articular rheumatism, multiple sclerosis, osteoarthritis (arthrosis deformans), psoriasis, HIV, asthma, septic shock, inflammatory intestinal disease, Crohn's disease, Alzheimer's disease, diabetes, cachexia, osteoporosis, graft-vs.-host disease, adult respiratory distress syndrome, arteriosclerosis, gout, glomerulus nephritis (glomerulonephritis), ischemic heart failure, ulcerative colitis, septicemia, cerebral malaria, restenosis, nephritis, systemic lupus erythematosus, thrombosis, bone resorption disease, chronic pulmonary inflammation disease, heart or kidney reperfusion disorder, cancer, Reiter's syndrome, imminent abortion, eczema, homograft rejection, seizure, fever, Behcet's disease, neuralgia,

meningitis, sunburn, contact dermatitis, acute synovitis, spondylitis, muscle degeneration, neovascularization, conjunctivitis, psoriatic arthritis, viral myocarditis, pancreatitis, hemorrhage, arthritis, endotoxin shock, parasitic infection, tuberculosis, myocardial infarction, Hansen's disease, diabetic conjunctivitis, irritable bowel syndrome, transplant rejection, burn, bronchitis, ischemic heart disease, pneumonia, remission of swelling, backache (low back pain), pharyngolaryngitis, Kawasaki disease, spinal cord disease, atopic dermatitis, etc. Thus, 3(5)-(4-fluorophenyl)-5(3)-(3-phenylpropyl)-4-(4-pyridyl)pyrazole was dissolved in DMF, treated with NaH at room temperature for 40 min, and alkylated by 2-benzyloxyethyl methanesulfonate at room temperature for 3 h, followed by hydrogenolysis over Pd(OH)2 (Pearlman catalyst) in EtOH and cyclohexane to give a mixture of 5-(4-fluorophenyl)-1-(2-hydroxyethyl)-3-(3-phenylpropyl)-4-(4-pyridyl)pyrazole and 3-(4-fluorophenyl)-1-(2-hydroxyethyl)-5-(3-phenylpropyl)-4-(4-pyridyl)pyrazole. The latter compds. and 3(5)-(4-fluorophenyl)-4-(4-pyridyl)-5(3)-[3-(3-pyridyl)propyl]pyrazole showed IC50 of 0.042 and 0.0000115 nM against p38 MAP kinase, resp.

IT **311779-86-9P**

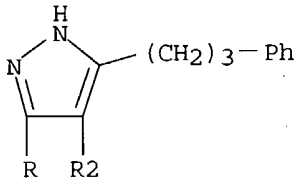
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

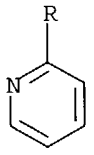
(preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α, interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)

RN 311779-86-9 CAPLUS

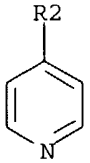
CN Pyridine, 2-[5-(3-phenylpropyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A





PAGE 2-A



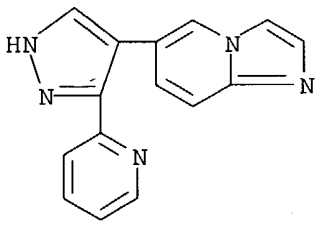
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

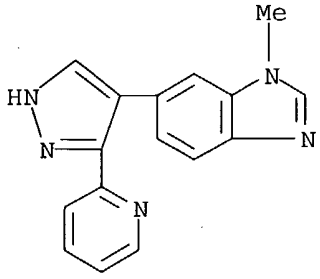
ACCESSION NUMBER: 1996:607249 CAPLUS
DOCUMENT NUMBER: 125:247806
TITLE: Preparation of pyrazole derivatives as antiviral agents
INVENTOR(S): Kai, Yasunobu; Tsuruoka, Akihiko; Yanagisawa, Manabu; Takeuchi, Hitoshi; Taniguchi, Hiroyuki; Tanabe, Kazunori; Yamanaka, Motosuke
PATENT ASSIGNEE(S): Eisai Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08183787	A2	19960716	JP 1994-328129	19941228
PRIORITY APPLN. INFO.:			JP 1994-328129	19941228

OTHER SOURCE(S): MARPAT 125:247806
GI For diagram(s), see printed CA Issue.
AB The title compds. I [ring A = (un)substituted aromatic ring (which may have one or more hetero atoms), etc.; R1 = alkyl, etc.; R2 = H, etc.; R3 = H, halo, etc.] are prepared The title compound II (preparation given) in vitro showed IC50 of <0.016 μg/mL against herpes simplex virus type 1.
IT **181865-64-5P 181866-81-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as antiviral agents)
RN 181865-64-5 CAPLUS
CN Imidazo[1,2-a]pyridine, 6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



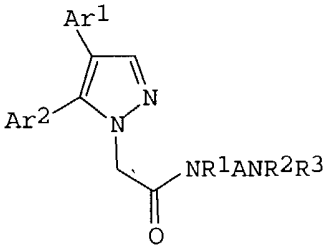
RN 181866-81-9 CAPLUS
CN 1H-Benzimidazole, 1-methyl-6-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:128917 CAPLUS
DOCUMENT NUMBER: 116:128917
TITLE: Preparation of 4,5-diarylpyrazolyl-1-acetamides as antiarrhythmics
INVENTOR(S): Bailey, Denis M.; D'Ambra, Thomas E.; Ezrin, Alan M.
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: Ger. (East), 9 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 295374	A5	19911031	DD 1990-343995	19900913
PRIORITY APPLN. INFO.:			DD 1990-343995	19900913

OTHER SOURCE(S): MARPAT 116:128917
GI



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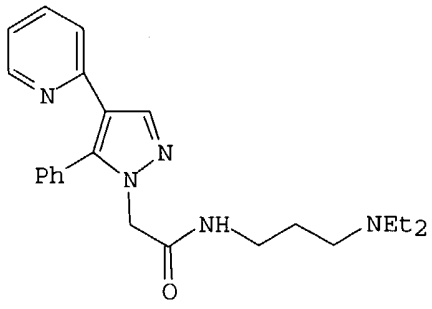
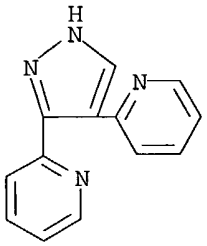


II

AB Title compds. [I; R1 = H, alkyl; R2, R3 = H, alkyl, hydroxylalkyl; R2R3 = C4-6 alkylene; A = (CH2)n, CH2OH(OH)CH2; n = 2-8; Ar1, Ar2 = (substituted) Ph, pyridyl; ≥1 of Ar1, Ar2 = pyridyl], were prepared Thus, 1-phenyl-2-(2-pyridinyl)ethanone and DMF di-Me acetal were refluxed in MeOCMe3 to give a β-ketoenamine, which was heated with Et hydrazinacetate hydrochloride in EtOH to give Et 5-phenyl-4-(2-pyridinyl)-1H-pyrazol-1-acetate. This was heated with Et2N(CH2)3NH2 to give title compound II. I at 30 mg/kg i.v. in guinea pigs increased time to aconitine-induced premature ventricular contraction from 1.0-1.2 min (controls) to 7.6-18.9 min.

IT **129332-27-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiarrhythmic)

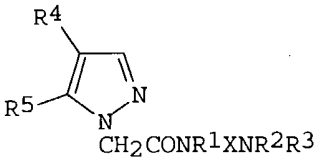
RN 129332-27-0 CAPLUS
CN Pyridine, 2,2'-(1H-pyrazole-3,4-diyl)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:532174 CAPLUS
DOCUMENT NUMBER: 113:132174
TITLE: Preparation of pyridinyl-1H-pyrazole-1-alkanamides as antiarrhythmic agents
INVENTOR(S): Bailey, Denis M.; D'Ambra, Thomas E.; Ezrin, Alan M.
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4925857	A	19900515	US 1989-327219	19890322
EP 388691	A1	19900926	EP 1990-104125	19900302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
NO 9001200	A	19900924	NO 1990-1200	19900314
AU 9051321	A1	19900927	AU 1990-51321	19900314
AU 620223	B2	19920213		
JP 02286675	A2	19901126	JP 1990-65522	19900315
CA 2012656	AA	19900922	CA 1990-2012656	19900321
PRIORITY APPLN. INFO.:			US 1989-327219	19890322

OTHER SOURCE(S): MARPAT 113:132174
GI



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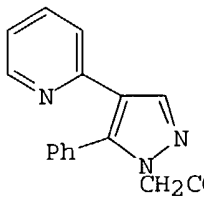
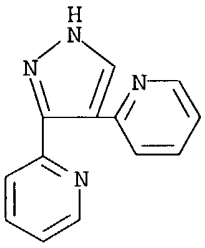


II

AB The title compds. [I; R1 = H, alkyl; R2, R3 = R1, hydroxyalkyl; R2R3 = alkylene; R4, R5 = pyridinyl, (MeO-, HO-, or halo-substituted) Ph; ≥1 of R4, R5 = pyridinyl; X = CH2CH(OH)CH2, (CH2)n; n = 2-8] were prepared Thus, Et 5-phenyl-4-(2-pyridinyl)pyrazole-1-acetate [preparation from 1-phenyl-2-(2-pyridinyl)ethanone given] and Et2NCH2CH2CH2NH2 were heated 3 h at 100° to give acetamide II. II at ≤30 mg/kg i.v. in guinea pigs increased time to aconitine-induced premature ventricular contraction from 1.0-1.2 min (control) to 18.9 min.

IT **129332-27-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and alkylation of, with Et chloroacetate)
RN 129332-27-0 CAPLUS
CN Pyridine, 2,2'-(1H-pyrazole-3,4-diyl)bis- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:05:58 ON 21 OCT 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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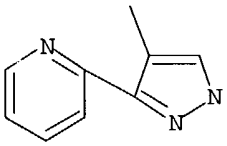
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L5 7 SEA L3

=> d l5 1-7 ibib abs hitstr

L5 ANSWER 1 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2004:152252 USPATFULL
TITLE: Novel pyrazole compounds as transforming growth factor (TGF) inhibitors
INVENTOR(S): Munchhof, Michael J., Salem, CT, UNITED STATES
Blumberg, Laura C., Waterford, CT, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116474	A1	20040617
APPLICATION INFO.:	US 2003-667189	A1	20030917 (10)

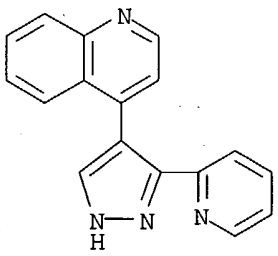
	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-412146P	20020918 (60)
	US 2003-484543P	20030702 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

10/667,189

LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 1461
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel pyrazole compounds, including derivatives thereof, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use are described. The compounds of the present invention are potent inhibitors of transforming growth factor ("TGF")-β signaling pathway. They are useful in the treatment of various TGF-related disease states including, for example, cancer, and fibrotic diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **396129-53-6P 607737-87-1P 676261-93-1P**
676261-94-2P 676261-95-3P 676261-96-4P,
4-[1-Methyl-3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]quinoline
676261-97-5P 676261-98-6P 676261-99-7P,
1-Methyl-6-[1-methyl-3-(6-methylpyridin-2-yl)-1H-pyrazol-4-yl]-1H-benzotriazole **676262-00-3P 676262-02-5P**
676262-03-6P 676262-04-7P 676262-06-9P
676262-07-0P 676262-08-1P
(preparation of 2-(pyrazolyl)pyridines and related compds. as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases)
RN 396129-53-6 USPATFULL
CN Quinoline, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 607737-87-1 USPATFULL
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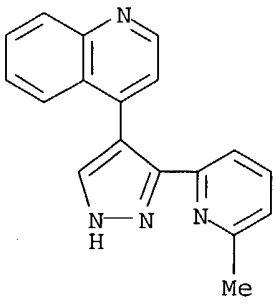
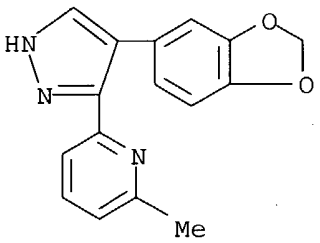
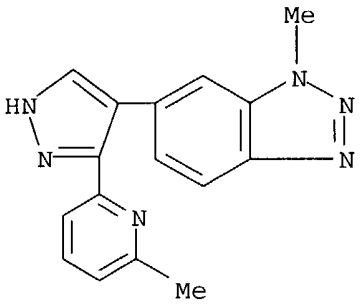


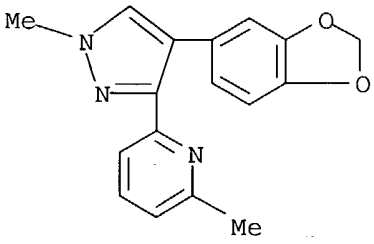
RN 676261-93-1 USPATFULL
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl]-6-methyl- (9CI) (CA INDEX NAME)



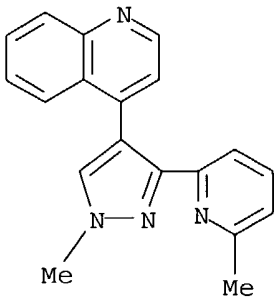
RN 676261-94-2 USPATFULL
CN 1H-Benzotriazole, 1-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



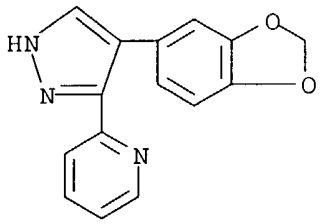
RN 676261-95-3 USPATFULL
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1-methyl-1H-pyrazol-3-yl]-6-methyl- (9CI) (CA INDEX NAME)



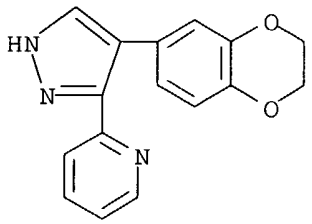
RN 676261-96-4 USPATFULL
CN Quinoline, 4-[1-methyl-3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



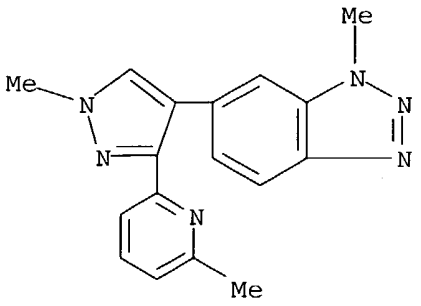
RN 676261-97-5 USPATFULL
CN Pyridine, 2-[4-(1,3-benzodioxol-5-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



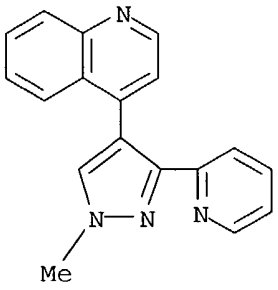
RN 676261-98-6 USPATFULL
CN Pyridine, 2-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)



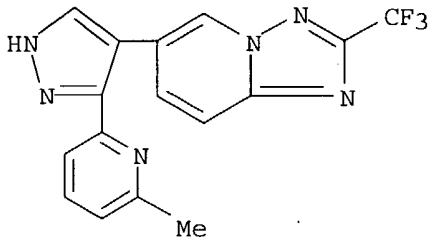
RN 676261-99-7 USPATFULL
CN 1H-Benzotriazole, 1-methyl-6-[1-methyl-3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



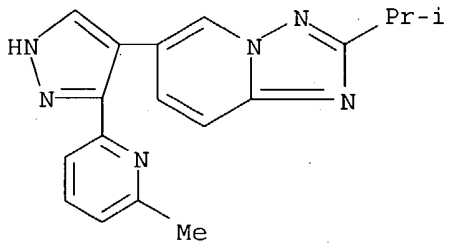
RN 676262-00-3 USPATFULL
CN Quinoline, 4-[1-methyl-3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



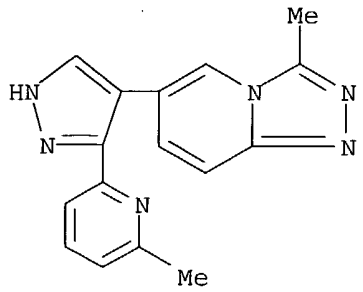
RN 676262-02-5 USPATFULL
CN [1,2,4]Triazolo[1,5-a]pyridine, 6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



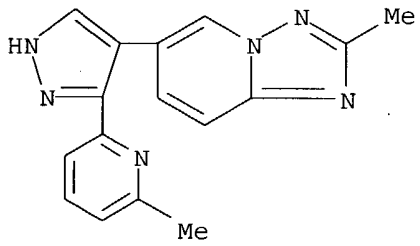
RN 676262-03-6 USPATFULL
CN [1,2,4]Triazolo[1,5-a]pyridine, 2-(1-methylethyl)-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



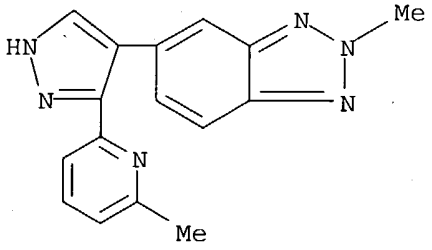
RN 676262-04-7 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



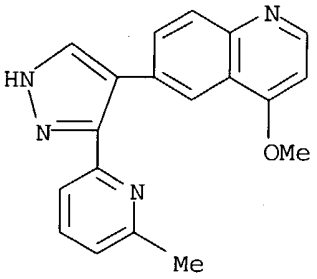
RN 676262-06-9 USPATFULL
CN [1,2,4]Triazolo[1,5-a]pyridine, 2-methyl-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676262-07-0 USPATFULL
CN 2H-Benzotriazole, 2-methyl-5-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676262-08-1 USPATFULL
CN Quinoline, 4-methoxy-6-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



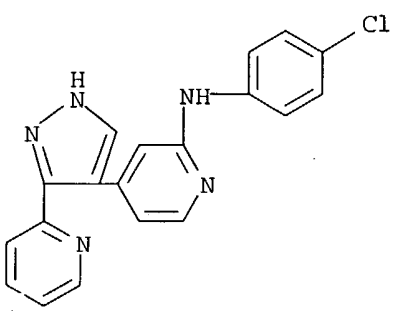
L5 ANSWER 2 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2004:127518 USPATFULL
TITLE: Pyrazoles as tgf inhibitors
INVENTOR(S): Gellibert, Francoise Jeanne, Les Ulis, FRANCE

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004097502	A1	20040520	
APPLICATION INFO.:	US 2003-470862	A1	20030731	(10)
	WO 2002-GB424		20020131	

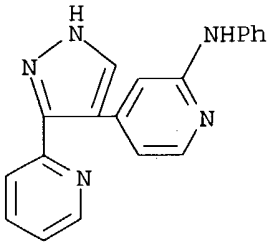
	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-2670	20010202
	GB 2001-19399	20010809

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US, UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 1124
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Therapeutically active pyrazole derivatives of formula (I) wherein R.sup.1-R.sup.3 are as defined in the specification, processes for the preparation thereof, the use thereof in therapy, particularly in the treatment of prophylaxis of disorders characterised by overexpression of transforming growth factor β (TGF-β), and pharmaceutical compositions for use in such therapy. ##STR1##

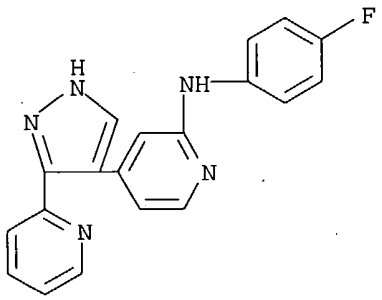
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **446880-51-9P 446880-52-0P 446880-53-1P**
446880-54-2P 446880-55-3P 446880-56-4P
446880-57-5P 446880-58-6P 446880-59-7P
446880-61-1P 446880-62-2P 446880-63-3P
446880-64-4P 446880-65-5P 446880-66-6P
446880-67-7P 446880-68-8P 446880-69-9P
446880-70-2P 446880-71-3P 446880-72-4P
446880-73-5P 446880-74-6P 446880-75-7P
446880-76-8P 446880-77-9P 446880-78-0P
446880-79-1P
(preparation of pyrazoles as TGF-β inhibitors)
RN 446880-51-9 USPATFULL
CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



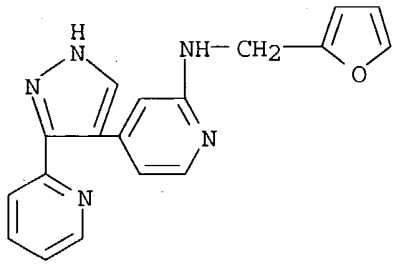
RN 446880-52-0 USPATFULL
CN 2-Pyridinamine, N-phenyl-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



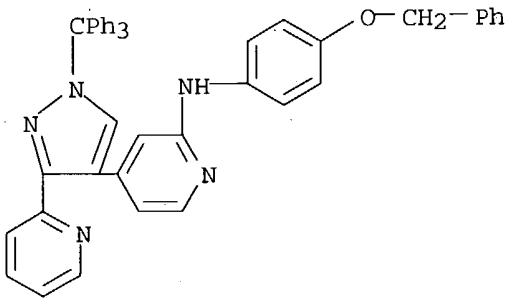
RN 446880-53-1 USPATFULL
CN 2-Pyridinamine, N-(4-fluorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



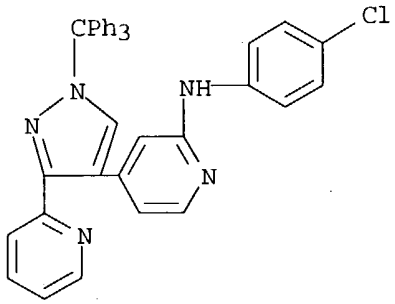
RN 446880-54-2 USPATFULL
CN 2-Pyridinamine, N-(2-furanylmethyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



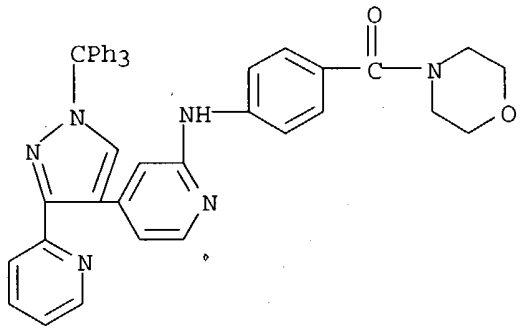
RN 446880-55-3 USPATFULL
CN 2-Pyridinamine, N-[3-(methylsulfonyl)phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446880-89-3 USPATFULL
CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446880-90-6 USPATFULL
CN Morpholine, 4-[4-[[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2004:114782 USPATFULL
TITLE: Substituted pyrazole compounds
INVENTOR(S): Minami, Nobuyoshi, Yokohama-shi, JAPAN
Sato, Michitaka, Kawasaki-shi, JAPAN
Hasumi, Koichi, Machida-shi, JAPAN
Yamamoto, Norio, Kawasaki-shi, JAPAN
Keino, Katsuyuki, Yokohama-shi, JAPAN
Matsui, Teruaki, Kawasaki-shi, JAPAN
Kanada, Arihiro, Kawasaki-shi, JAPAN
Ohta, Shuji, Kawasaki-shi, JAPAN

Saito, Takahisa, Kawasaki-shi, JAPAN
Sato, Shuichiro, Kawasaki-shi, JAPAN
Asagarasu, Akira, Machida-shi, JAPAN
Doi, Satoshi, Kawasaki-shi, JAPAN
Kobayashi, Motohiro, Kawasaki-shi, JAPAN
Sato, Jun, Kawasaki-shi, JAPAN
Asano, Hajime, Kawasaki-shi, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004087628	A1	20040506
APPLICATION INFO.:	US 2003-693461	A1	20031027 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2001-980579, filed on 3 Dec 2001, GRANTED, Pat. No. US 6667325 A 371 of International Ser. No. WO 2000-JP3547, filed on 1 Jun 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-156683	19990603
	JP 1999-157011	19990603

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 2478

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted pyrazole compounds represented by formula (I), or salts thereof are disclosed, wherein R.sup.1 is --CH(OH)--CH(R.sup.4)-(A).sub.n --Y, --CH.sub.2 --CH(R.sup.4)-(A).sub.n--Y, --CO--B.sup.1-A-Y or the like (wherein A is a lower alkylene; Y is an aryl group which may be substituted, for example, by halogen, or the like; R.sup.4 is a hydrogen atom or a lower alkyl group; B.sup.1 is --CH(R.sup.4)-- or --N(R.sup.4)--; and n is 0 or 1); R.sup.2 is a hydrogen atom, a lower alkyl group which may be substituted by hydroxyl or the like, or an aralkyl group; R.sup.3 is a phenyl group which may be substituted by halogen or the like, or a pyridyl group; and Q is a pyridyl or quinolyl group. These substituted pyrazole compounds or their salts have an excellent p38MAP kinase inhibiting effect and are hence useful in the prevention or treatment of tumor necrosis factor α-related diseases, interleukin 1-related diseases, interleukin 6-related diseases or cyclooxygenase II-related diseases. ##STR1##

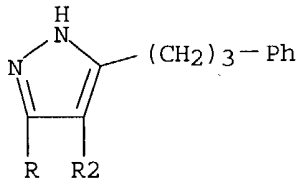
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

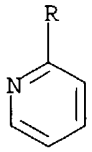
IT **311779-86-9P**
(preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α, interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)

RN 311779-86-9 USPATFULL

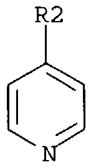
CN Pyridine, 2-[5-(3-phenylpropyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A





PAGE 2-A



L5 ANSWER 4 OF 7 USPATFULL on STN

ACCESSION NUMBER:	2004:114777 USPATFULL			
TITLE:	Pyrazole derivatives against tgf overexpression			
INVENTOR(S):	Gellibert, Francoise Jeanne, Les Ulis, FRANCE Matthews, Neil, London, UNITED KINGDOM			

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004087623	A1	20040506	
APPLICATION INFO.:	US 2003-470856	A1	20030731	(10)
	WO 2002-EP938		20020130	

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-2661	20010202
	GB 2001-19424	20010809

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US, UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939
NUMBER OF CLAIMS:	13
EXEMPLARY CLAIM:	1
LINE COUNT:	1844

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

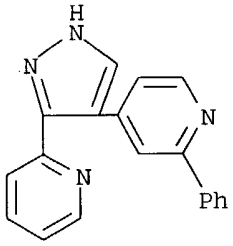
AB Therapeutically active pyrazole derivatives of formula (I) wherein R.sup.1-R.sup.3 are as defined in the specification, processes for the preparation thereof, the use thereof in therapy, particularly in the treatment or prophylaxis of disorders characterised by overexpression of transforming growth factor β (TGF-β), and pharmaceutical compositions for use in such therapy, Formula (I) ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **452342-37-9P**, 2-Phenyl-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-38-0P**, 2-[4-(Trifluoromethyl)phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-39-1P**, 2-(4-Methoxyphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-40-4P**, 2-(4-Fluorophenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-41-5P**, 2-(4-Chlorophenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-42-6P**, 2-(Furan-2-yl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-43-7P**, 2-[4-(Trifluoromethoxy)phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-44-8P**, 2-(4-Methylphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-45-9P**, 2-(4-Ethylphenyl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-46-0P**, 2-(Thiophen-3-yl)-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-48-2P**, 2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-50-6P**, 3-[[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amino]propanenitrile **452342-51-7P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]morpholine **452342-52-8P**, 2-[4-[(1-Methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-53-9P**, 2-[4-[(1-Methyl-1H-imidazol-2-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-54-0P**, 2-[4-[(3-Methyl-3H-imidazol-4-yl)methoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-55-1P**, 2-[4-[2-(1H-Imidazol-1-yl)ethoxy]phenyl]-4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridine **452342-56-2P**, [4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl](tetrahydropyran-4-yl)amine **452342-57-3P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]morpholine hydrochloride **452342-58-4P**, (Pyridin-3-ylmethyl)[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-59-5P**, 2-(Piperidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-60-8P**, 2-(Pyrrolidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-61-9P**, 2-(Morpholin-4-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-62-0P**, 2-(4-Methylpiperazin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]acetamide **452342-63-1P**, 3-(Piperidin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide hydrochloride **452342-64-2P**, 3-(Morpholin-4-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide **452342-65-3P**, 3-(4-Methylpiperazin-1-yl)-N-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]propionamide **452342-67-5P**, 4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydropyran-4-yl)benzamide **452342-69-7P**, N-[(1-Ethylpyrrolidin-2-yl)methyl]-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide **452342-71-1P**, 1-Ethyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]piperazine **452342-73-3P**, N-Methyl-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl](tetrahydropyran-4-yl)amine **452342-75-5P**, [[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl](tetrahydropyran-4-yl)amine **452342-77-7P**, N-Methyl-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl](tetrahydropyran-4-yl)amine **452342-79-9P**, 4-Methoxy-1-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]piperidine **452342-81-3P**, 1-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]pyrrolidine **452342-83-5P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]piperazine **452342-85-7P**, (1-Methylpiperidin-4-yl)[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-87-9P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]piperazine **452342-89-1P**,

4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]morpholine **452342-90-4P**, 4-(Dimethylamino)-1-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzoyl]piperidine **452342-92-6P**, 1-Methyl-4-[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]phenyl]piperazine **452342-93-7P**, 4-[4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]thiomorpholine **452342-94-8P**, Dimethyl[4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzyl]amine **452342-95-9P**, 4-[4-[3-(Pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]-N-(tetrahydropyran-4-ylmethyl)benzamide **452342-96-0P**, N-(2-Methoxyethyl)-N-methyl-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide **452342-97-1P**, N-(2-Methoxyethyl)-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide **452342-98-2P**, N-(Cyclohexylmethyl)-4-[4-[3-(pyridin-2-yl)-1H-pyrazol-4-yl]pyridin-2-yl]benzamide
(drug candidate)

RN 452342-37-9 USPATFULL
CN Pyridine, 2-phenyl-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 452342-38-0 USPATFULL
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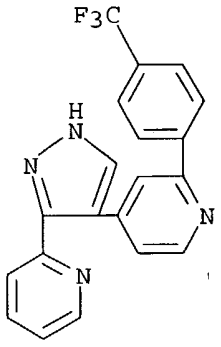

RN 452342-39-1 USPATFULL
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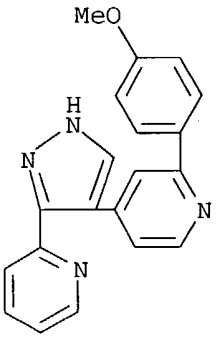

RN 452342-40-4 USPATFULL
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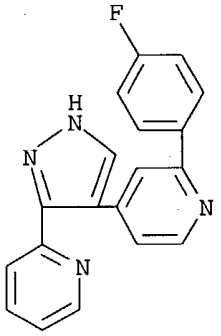

RN 452342-41-5 USPATFULL
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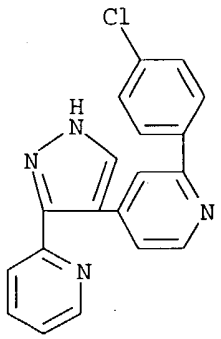

RN 452342-42-6 USPATFULL
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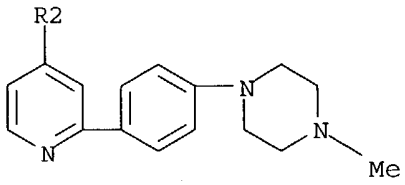


L5 ANSWER 5 OF 7 USPATFULL on STN

ACCESSION NUMBER:	2004:83493 USPATFULL		
TITLE:	Compounds		
INVENTOR(S):	Gellibert, Francoise Jeanne, Les Ulis, FRANCE Hartley, Charles David, Stevenage, UNITED KINGDOM Mathews, Neil, London, UNITED KINGDOM Woolven, James Michael, Hertfordshire, UNITED KINGDOM		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004063949	A1	20040401
APPLICATION INFO.:	US 2003-470858	A1	20030731 (10)
	WO 2002-EP939		20020130
	NUMBER		DATE
PRIORITY INFORMATION:	GB 2001-2672		20010202
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US, UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	589		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

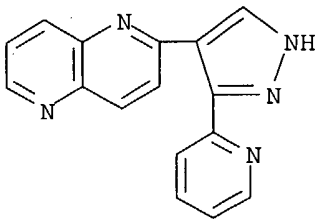
AB Therapeutically active pyrazole derivatives of formula (I) wherein R.sup.1-R.sup.3 are as defined in the specification, processes for the preparation thereof, the use thereof in therapy, particularly in the treatment or prophylaxis of disorders characterised by overexpression of transforming growth factor (TGF-), and pharmaceutical compositions for use in such therapy. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

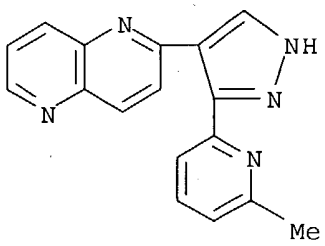
IT **446859-32-1P 446859-33-2P**
(preparation of 3-(2-pyridyl)-4([1,5]naphthyridin-2-yl)pyrazoles as TGF-β inhibitors)

RN 446859-32-1 USPATFULL

CN 1,5-Naphthyridine, 2-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 446859-33-2 USPATFULL
CN 1,5-Naphthyridine, 2-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)



L5 ANSWER 6 OF 7 USPATFULL on STN

ACCESSION NUMBER:	2003:332384 USPATFULL
TITLE:	Substituted pyrazole compounds
INVENTOR(S):	Minami, Nobuyoshi, Yokohama, JAPAN Sato, Michitaka, Kawasaki, JAPAN Hasumi, Koichi, Machida, JAPAN Yamamoto, Norio, Kawasaki, JAPAN Keino, Katsuyuki, Yokohama, JAPAN Matsui, Teruaki, Kawasaki, JAPAN Kanada, Arihiro, Kawasaki, JAPAN Ohta, Shuji, Kawasaki, JAPAN Saito, Takahisa, Kawasaki, JAPAN Sato, Shuichiro, Kawasaki, JAPAN Asagarasu, Akira, Machida, JAPAN Doi, Satoshi, Kawasaki, JAPAN Kobayashi, Motohiro, Kawasaki, JAPAN Sato, Jun, Kawasaki, JAPAN Asano, Hajime, Kawasaki, JAPAN
PATENT ASSIGNEE(S):	Teikoku Hormone Mfg. Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6667325	B1	20031223	
	WO 2000075131		20001214	
APPLICATION INFO.:	US 2001-980579		20011203	(9)
	WO 2000-JP3547		20000601	

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-156683	19990603
	JP 1999-157011	19990603

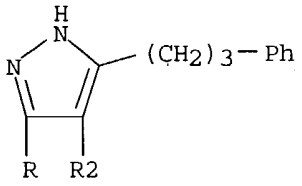
DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Fan, Jane

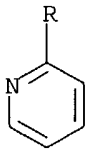
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack, L.L.P.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2182
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted pyrazole compounds represented by formula (I), or salts thereof are disclosed, wherein R.sup.1 is --CH(OH)--CH(R.sup.4)--(A).sub.n--Y, --CH.sub.2--CH(R.sup.4)--(A).sub.n--Y, --CO--B.sup.1--A--Y or the like (wherein A is a lower alkylene; Y is an aryl group which may be substituted, for example, by halogen, or the like; R.sup.4 is a hydrogen atom or a lower alkyl group; B.sup.1 is --CH(R.sup.4)-- or --N(R.sup.4)--; and n is 0 or 1); R.sup.2 is a hydrogen atom, a lower alkyl group which may be substituted by hydroxyl or the like, or an aralkyl group; R.sup.3 is a phenyl group which may be substituted by halogen or the like, or a pyridyl group; and Q is a pyridyl or quinolyl group. These substituted pyrazole compounds or their salts have an excellent p38MAP kinase inhibiting effect and are hence useful in the prevention or treatment of tumor necrosis factor α-related diseases, interleukin 1-related diseases, interleukin 6-related diseases or cyclooxygenase II-related diseases. ##STR1##

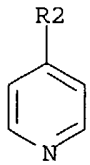
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **311779-86-9P**
(preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α, interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)
RN 311779-86-9 USPATFULL
CN Pyridine, 2-[5-(3-phenylpropyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A





PAGE 2-A

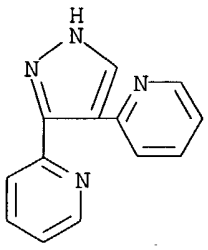


ACCESSION NUMBER: 90:38430 USPATFULL
TITLE: Pyridinyl-1H-pyrazole-1-alkanamides as antiarrhythmic agents
INVENTOR(S): Bailey, Denis M., East Greenbush, NY, United States
D'Ambra, Thomas E., North Greenbush, NY, United States
Ezrin, Alan M., Colonie, NY, United States
PATENT ASSIGNEE(S): Sterling Drug Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4925857		19900515
APPLICATION INFO.:	US 1989-327219		19890322 (7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fan, Jane T.
LEGAL REPRESENTATIVE: Hansen, Philip E., Dupont, Paul E.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 411
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB N-[(alkylamino)alkyl]-4,5-diaryl-1H-pyrazole-1-acetamides, wherein at least one of the aryl substituents is a pyridine, useful for treating cardiac arrhythmias in mammals, are prepared by reacting a lower-alkyl ester of pyrazole-1-acetic acid with an appropriate diamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **129332-27-0P**
(preparation and alkylation of, with Et chloroacetate)
RN 129332-27-0 USPATFULL
CN Pyridine, 2,2'-(1H-pyrazole-3,4-diyl)bis- (9CI) (CA INDEX NAME)



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